

STIC-ILL

Q0241. T42
744
Adams

From: Canella, Karen
Sent: Tuesday, January 07, 2003 6:39 PM
To: STIC-ILL
Subject: ill order 09/833,327

Art Unit 1642 Location 8E12(mail)

Telephone Number 308-8362

Application Number 09/833,327

1. Tetrahedron Letters, 1994, 35(43):7927-7930
2. Glycoconjugate Journal, 1992, 9(2):99-108
3. Journal of Carbohydrate Chemistry, 1992, 11(1):77-88
4. Cancer Research, 1989, 49(13):3662-3669

=> fil reg

FILE 'REGISTRY' ENTERED AT 10:59:02 ON 07 DEC 2002

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Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
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jan.delaval@uspto.gov

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 DEC 2002 HIGHEST RN 475385-56-9

DICTIONARY FILE UPDATES: 6 DEC 2002 HIGHEST RN 475385-56-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

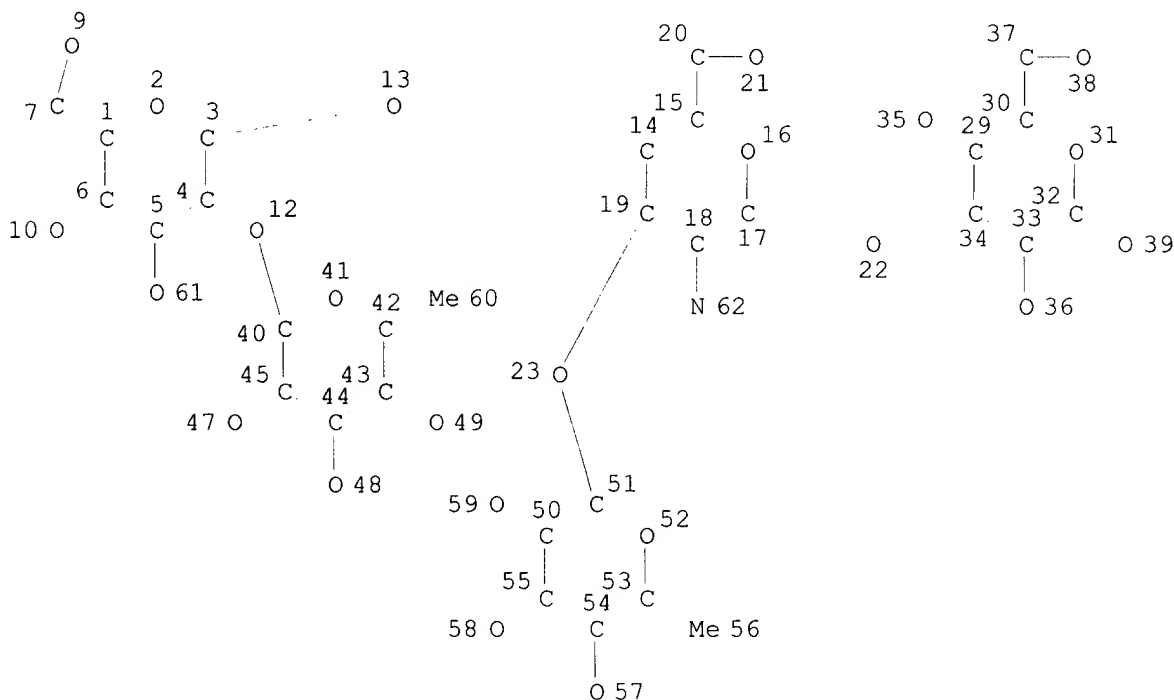
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que 116

L10 STR



Page 1-A

9

Page 1-B

NODE ATTRIBUTES:

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DEFAULT ECLEVEL IS LIMITED

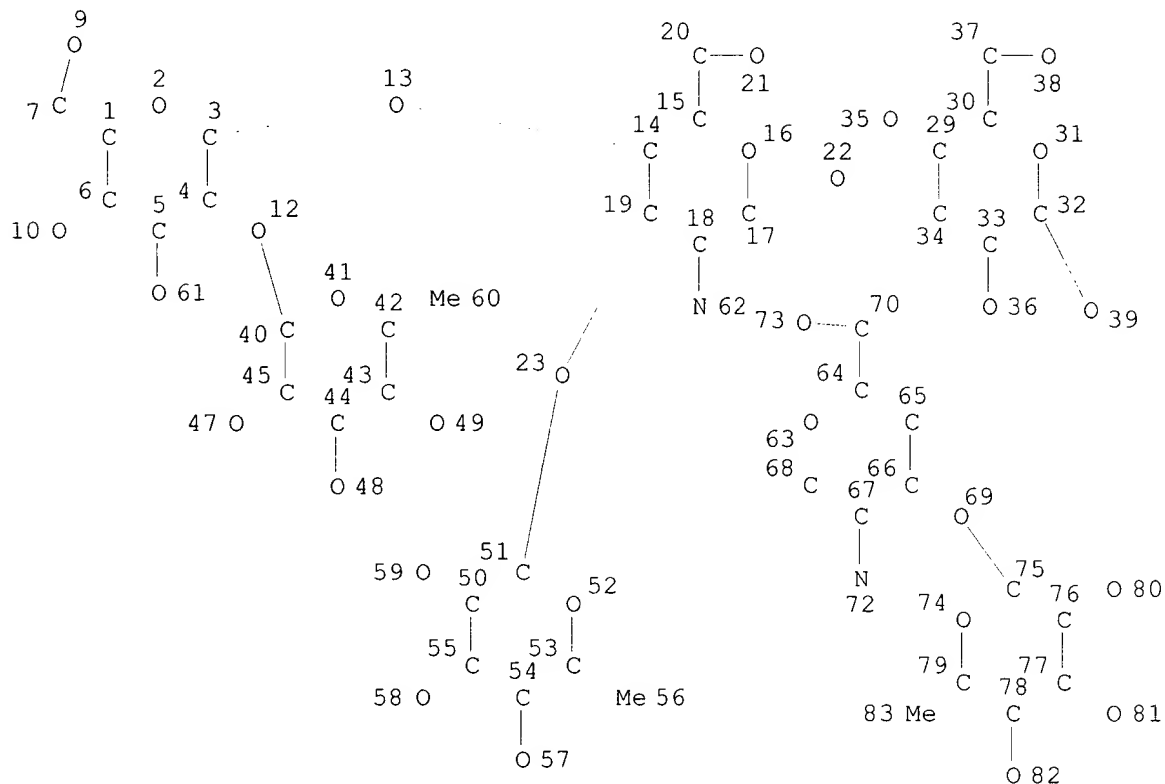
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 54

STEREO ATTRIBUTES: NONE

L12 86 SEA FILE=REGISTRY SSS FUL L10

L15 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 74

STEREO ATTRIBUTES: NONE

L16 1 SEA FILE=REGISTRY SUB=L12 SSS FUL L15

100.0% PROCESSED 2 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

=> d ide can l16

~~L16 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS~~

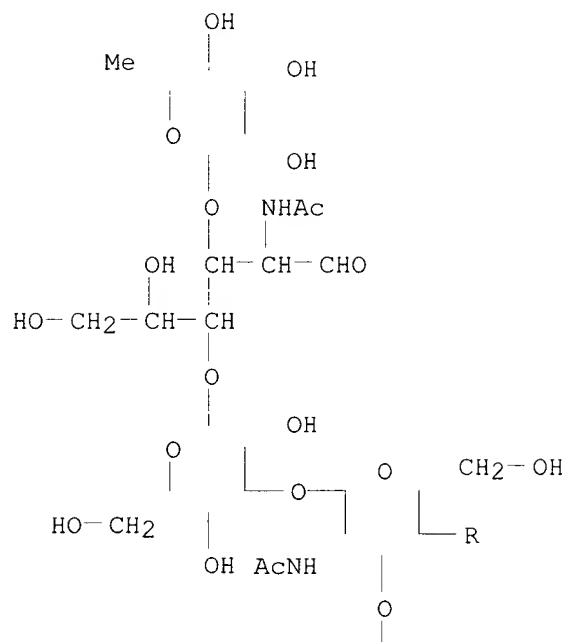
RN 115973-43-8 REGISTRY

CN D-Glucose, O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-mannopyranosyl-(1.fwdarw.4)]-2-(acetylamino)-2-deoxy- (9CI) (CA INDEX NAME)

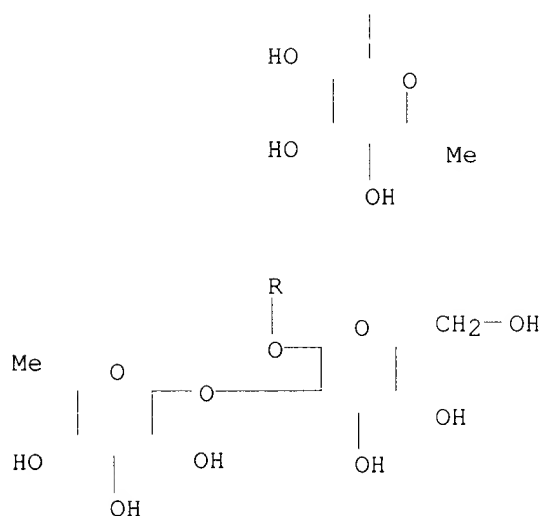
MF C46 H78 N2 O33

SR CA
LC STN Files: CA, CAPLUS

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 109:91119

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:59:18 ON 07 DEC 2002

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FILE COVERS 1907 - 7 Dec 2002 VOL 137 ISS 24

FILE LAST UPDATED: 6 Dec 2002 (20021206/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d all hitstr l20

L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS

AN 1988:491119 HCAPLUS

DN 109:91119

TI Monoclonal antibody TS-1 having specificity for human cancers, its specific antigen, and their preparation

IN Adachi, Shoichi; Kaizu, Haruo

PA Japan Antibiotic Research Assoc., Japan

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C12P021-00

ICS C07K015-04; C12N015-00; C12P019-04; G01N033-569; G01N033-577

ICA A61K039-395; C08B037-00

ICI C12P019-04, C12R001-91

CC 15-3 (Immunochemistry)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 63017698	A2	19880125	JP 1986-163046	19860711
GI					

Gal?1-4GlcNAc?1-3Gal?1-4GlcNAc?1

2
↑

3
↑

3
↑

Fuc?1

Fuc?1

Fuc?1

I

AB Monoclonal antibody (MAb) TS-1 having specificity for human cancers, such as colon cancer, is prepd. using human large intestine adenocarcinoma membrane fraction as an antigen. The structure of a novel antigen binding specifically to TS-1 is also detd. A host was immunized with an isolated membrane fraction of human large intestine adenocarcinoma and the spleen cells were fused with SP/2 mouse myeloma cells. Clone TS-1 secreting an MAb capable of binding specifically to large intestinal cancer tissue and stomach cancer tissue was selected. The nonspecific binding of TS-1 with normal large intestinal tissue was lower than the MAb AH-6. The antigen binding to TS-1 was further isolated from a tumor or normal tissue (not defined), and its trifucosyl-N-acetyllactosamine structure (I) was detd. by gas chromatog. and H1-NMR.

ST monoclonal antibody TS1 prepn; intestinal cancer diagnosis monoclonal antibody; tumor antigen acetyllactosamine isolation

IT Carcinoma
(adeno-, of large intestine of human, monoclonal antibody against, prepn. of)

IT Intestine, disease or disorder
(large, adenocarcinoma of human, monoclonal antibody TS-1 against, prepn. of)

IT Antibodies
RL: PREP (Preparation)
(monoclonal, against large intestine adenocarcinoma of human, prepn. of)

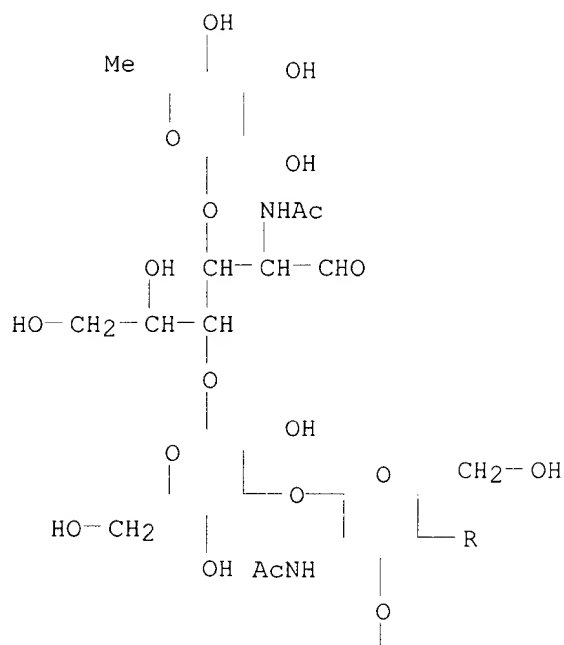
IT 115973-43-8 115973-43-8D, derivs.
RL: BIOL (Biological study)
(tumor antigen contg., prepn. of)

IT 115973-43-8 115973-43-8D, derivs.
RL: BIOL (Biological study)
(tumor antigen contg., prepn. of)

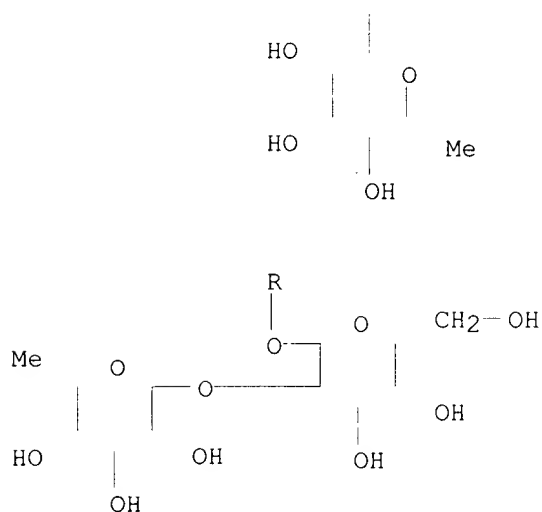
RN 115973-43-8 HCAPLUS

CN D-Glucose, O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-mannopyranosyl-(1.fwdarw.4)]-2-(acetylamino)-2-deoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

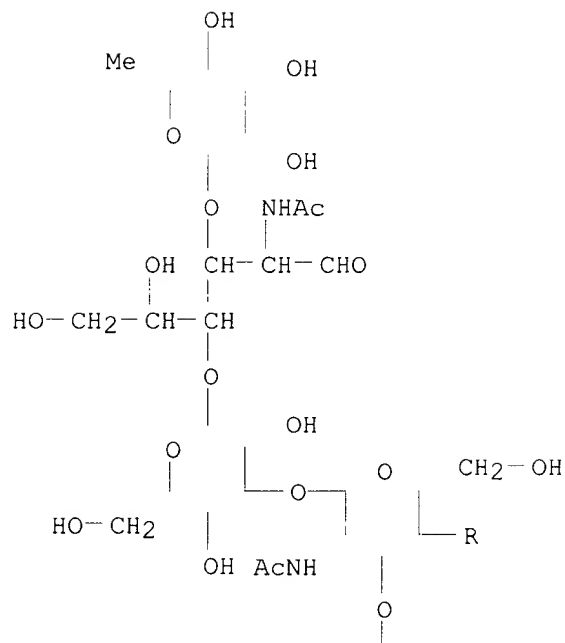


PAGE 2-A

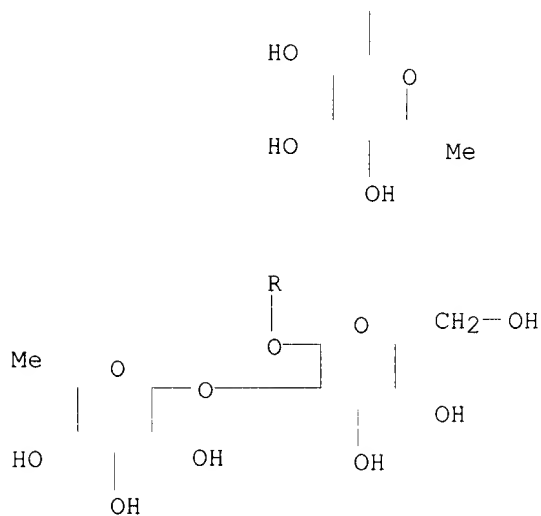


RN 115973-43-8 HCAPLUS
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 (acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-
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 NAME)

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PAGE 2-A



-> fil reg

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STRUCTURE FILE UPDATES: 6 DEC 2002 HIGHEST RN 475385-56-9
 DICTIONARY FILE UPDATES: 6 DEC 2002 HIGHEST RN 475385-56-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

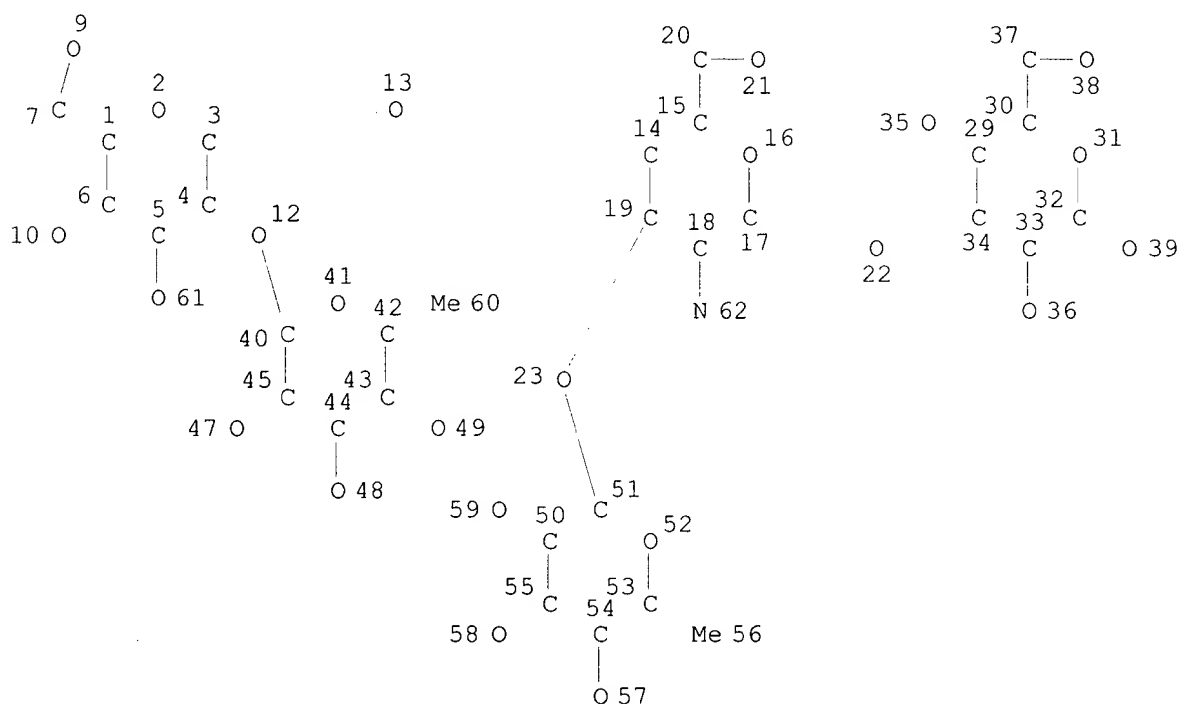
Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
 PROPERTIES for more information. See STNote 27, Searching Properties
 in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que l14

L10 STR



Page 1-A

9

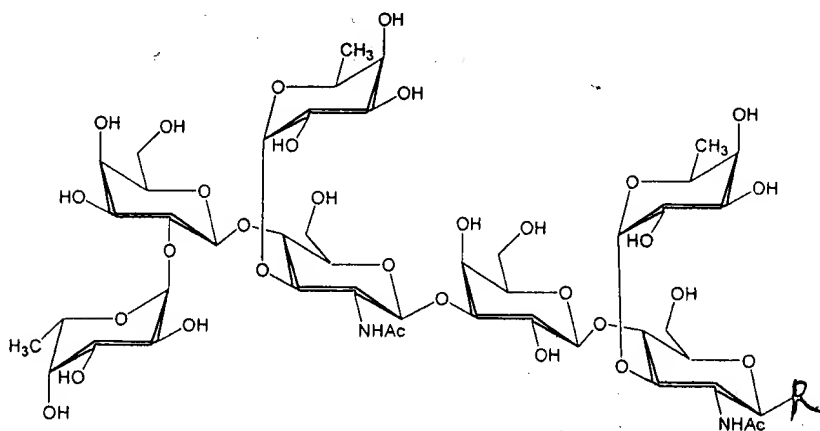
Page 1-B

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 DEFAULT ECLEVEL IS LIMITED

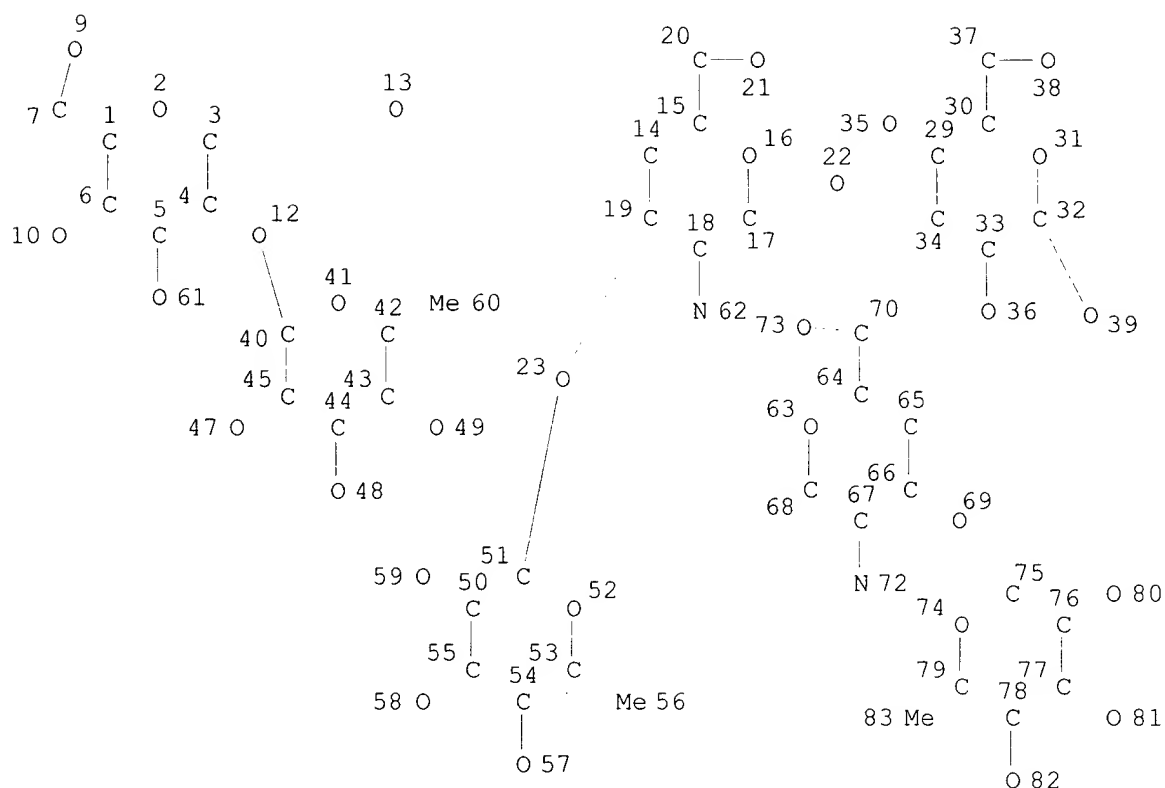
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~~RING(S) ARE ISOLATED OR EMBEDDED~~
 NUMBER OF NODES IS 54

STEREO ATTRIBUTES: NONE
 L12 86 SEA FILE=REGISTRY SSS FUL L10
 L13 STR

Please search in the commercial and patent databases (including MARPAT) \checkmark for the following structure:
and published applications



BEST AVAILABLE COPY



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 74

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 54 ITERATIONS
 SEARCH TIME: 00.00.01

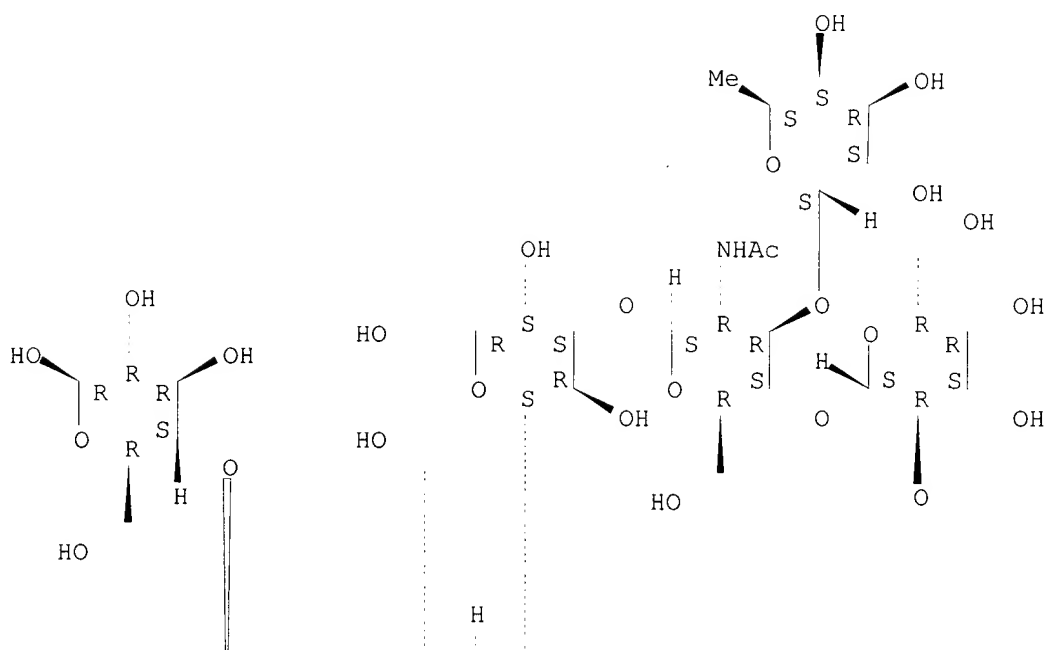
11 ANSWERS

=> d ide can tot l14

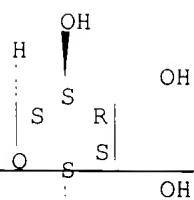
L14 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2002 ACS
 RN 428516-64-7 REGISTRY
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 O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-O-[6-deoxy-.alpha.-L-
 galactopyranosyl-(1.fwdarw.3)]-O-2-(acetylamino)-2-deoxy-.beta.-D-
 glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-O-[6-
 deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)]-O-2-(acetylamino)-2-deoxy-
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 (1.fwdarw.4)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C58 H98 N2 O43
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

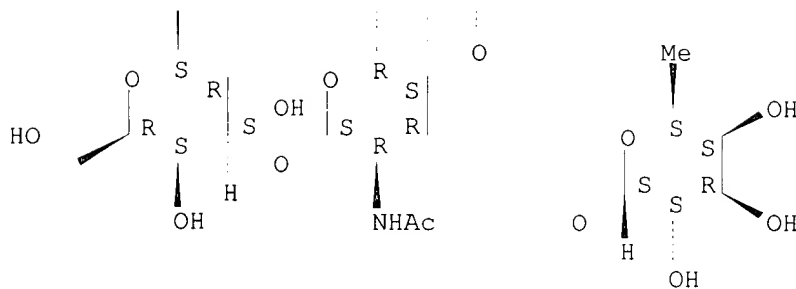
PAGE 1-A



PAGE 1-B



PAGE 2-A



PAGE 2-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:400166

L14 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 210427-21-7 REGISTRY

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FS STEREOSEARCH

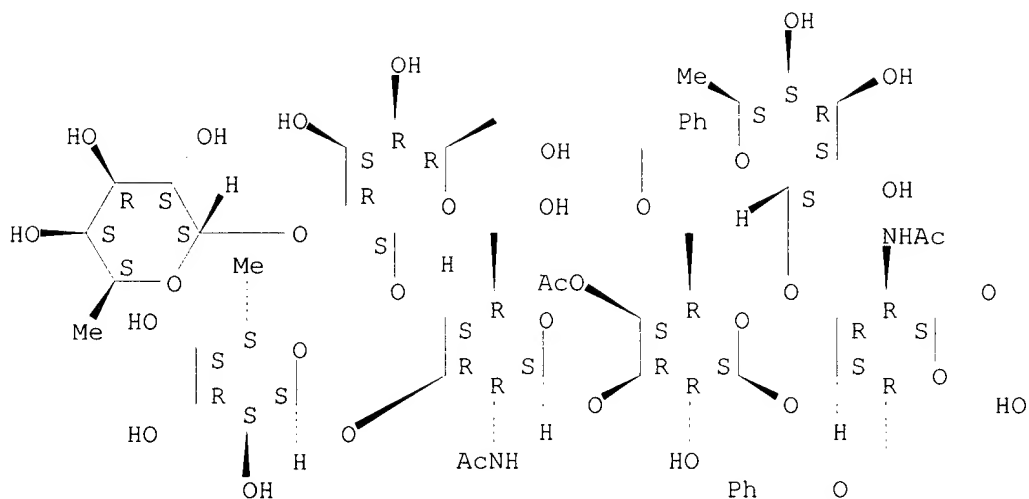
MF C108 H177 N3 O46

SR CA

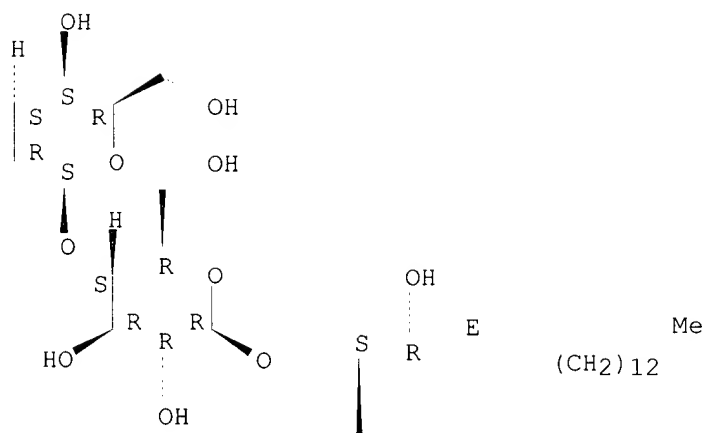
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.
 Double bond geometry as shown.

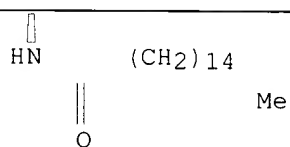
PAGE 1-A



PAGE 1-B



PAGE 2-B



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 129:136429

L14 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 210427-20-6 REGISTRY

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FS STEREOSEARCH

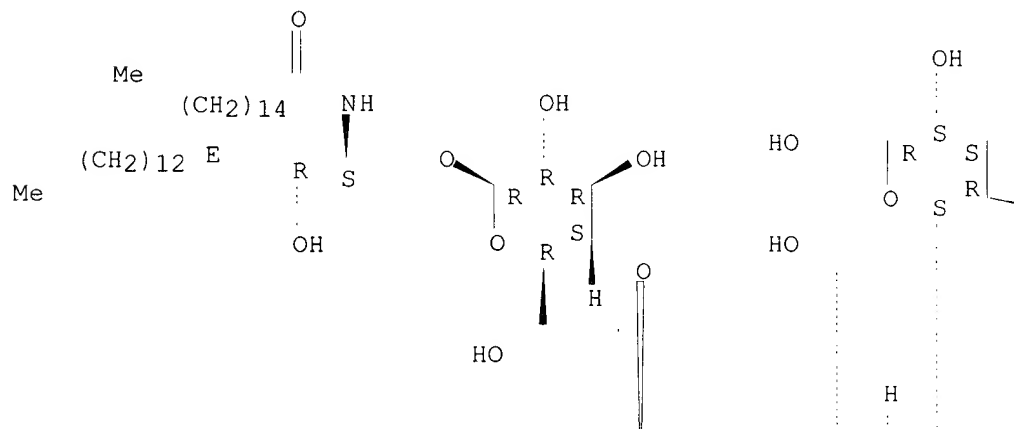
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SR CA

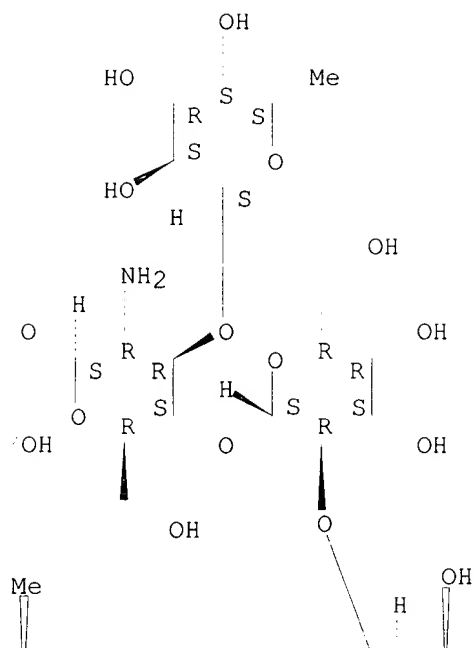
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.
Double bond geometry as shown.

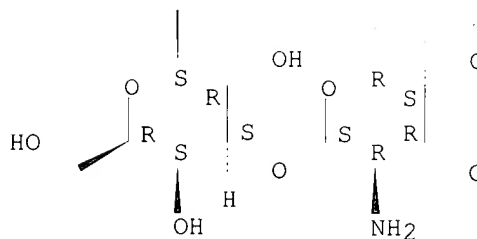
PAGE 1-A



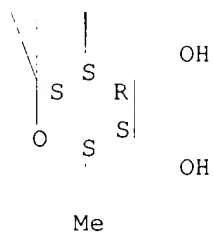
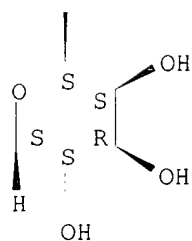
PAGE 1-B



PAGE 2-A



PAGE 2-B



1 REFERENCES IN FILE CA (1962 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 129:136429

L14 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2002 ACS
 RN 202657-51-0 REGISTRY

CN .beta.-D-Glucopyranoside, 2-propenyl O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

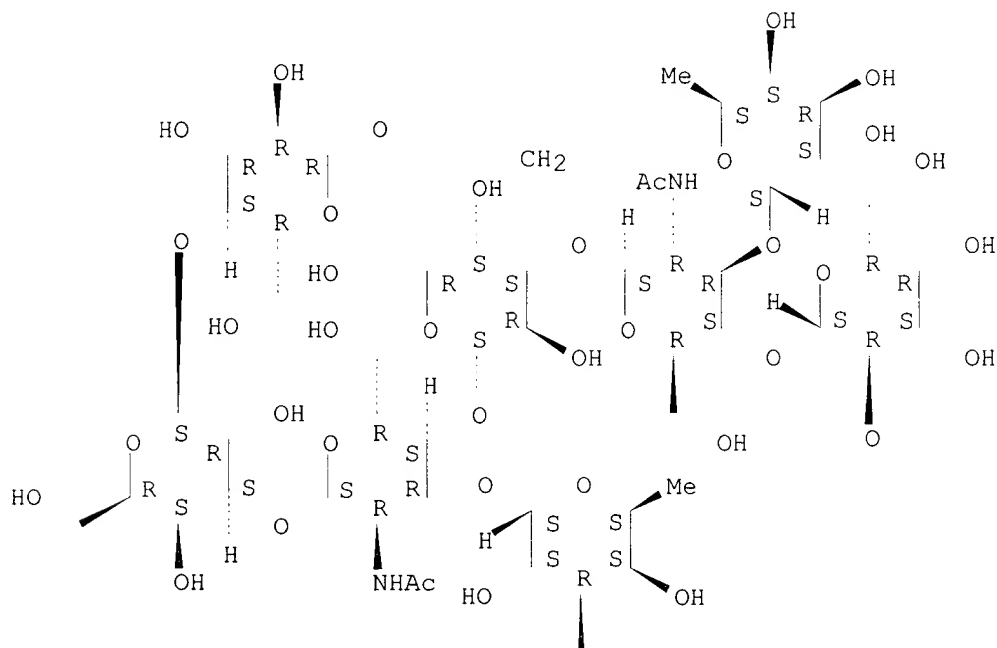
MF C61 H102 N2 O43

SR CA

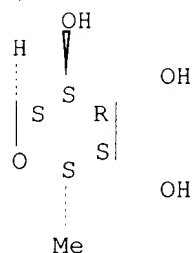
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1962 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:400166

REFERENCE 2: 129:136429

REFERENCE 3: 128:167621

L14 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 202657-30-5 REGISTRY

CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]-
 (9CI) (CA INDEX NAME)

FS STEREOSEARCH

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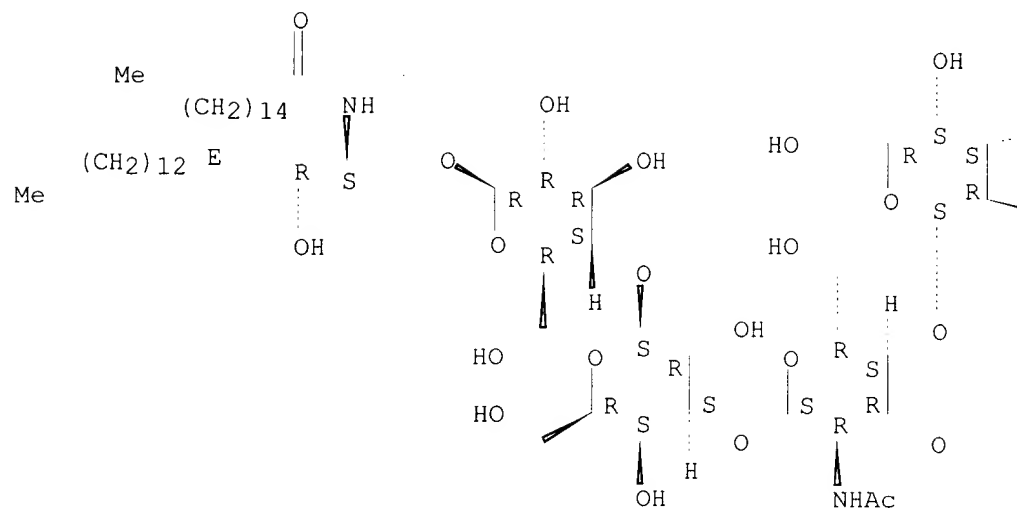
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

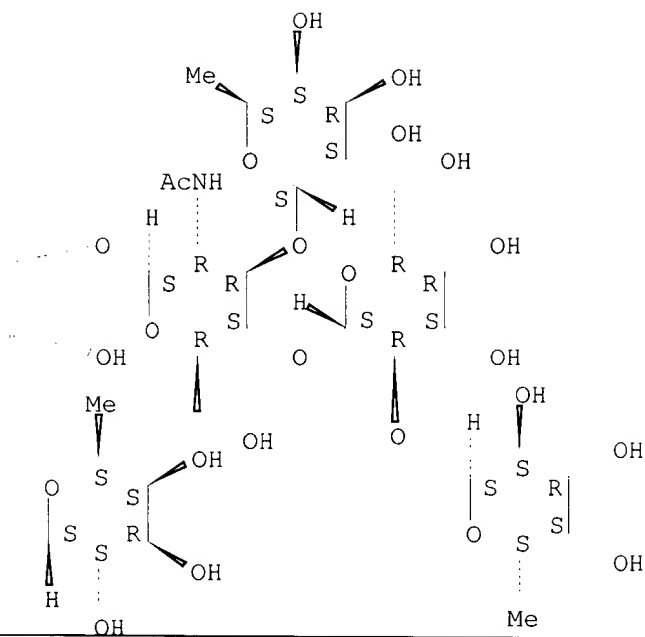
Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



3 REFERENCES IN FILE CA (1962 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:400166

REFERENCE 2: 129:136429

REFERENCE 3: 128:167621

L14 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 191286-86-9 REGISTRY

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FS STEREOSEARCH

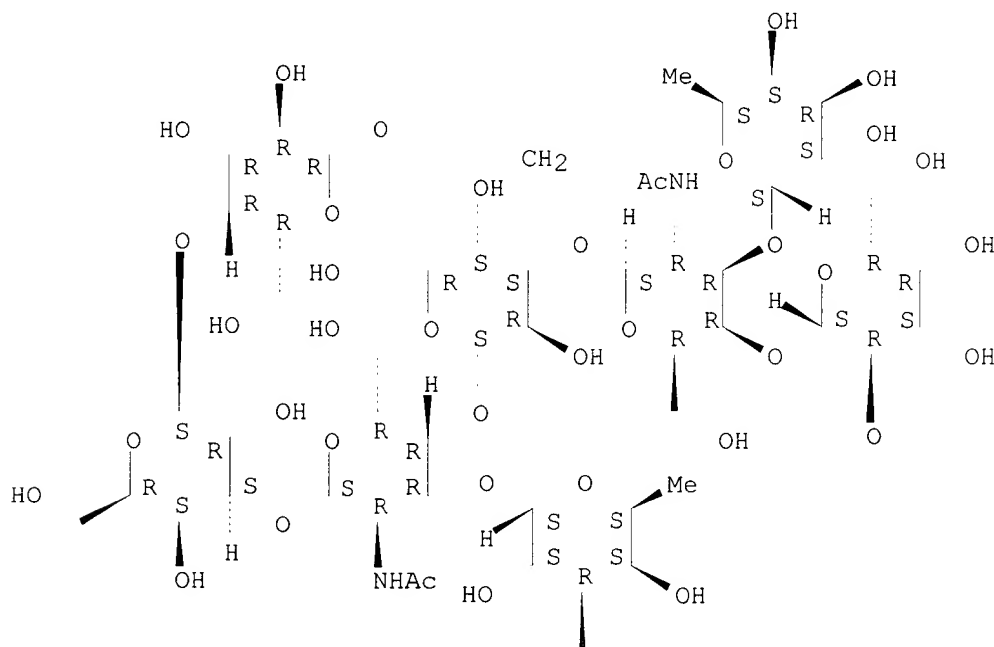
MF C61 H102 N2 O43

SR CA

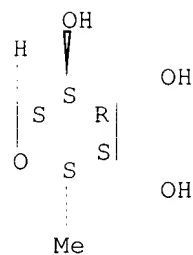
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1962 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 127:205782

REFERENCE 2: 127:66045

L14 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 191286-85-8 REGISTRY

CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-galactopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]- (9CI) (CA INDEX NAME)

FS ~~STEREOSEARCH~~

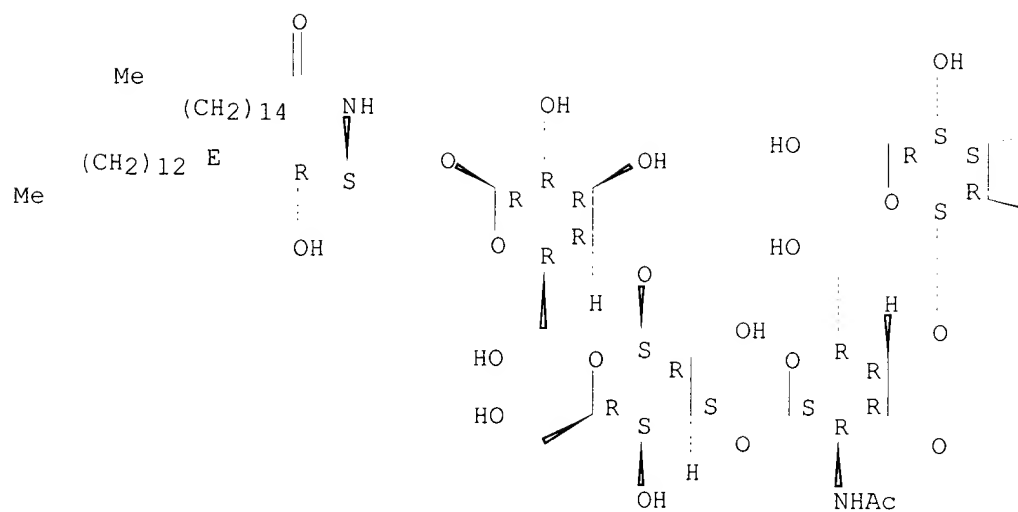
MF C92 H163 N3 O45

SR CA

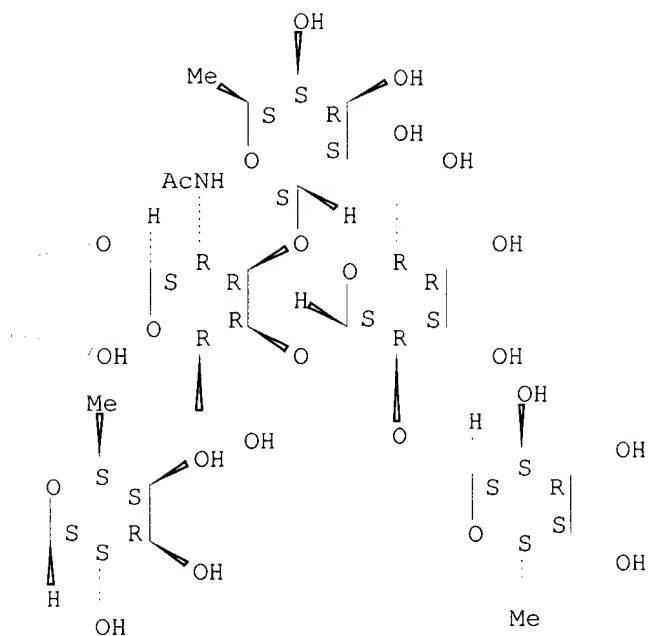
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 127:205782

REFERENCE 2: 127:66045

L14 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 160720-70-7 REGISTRY

CN Nonanoic acid, 9-[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

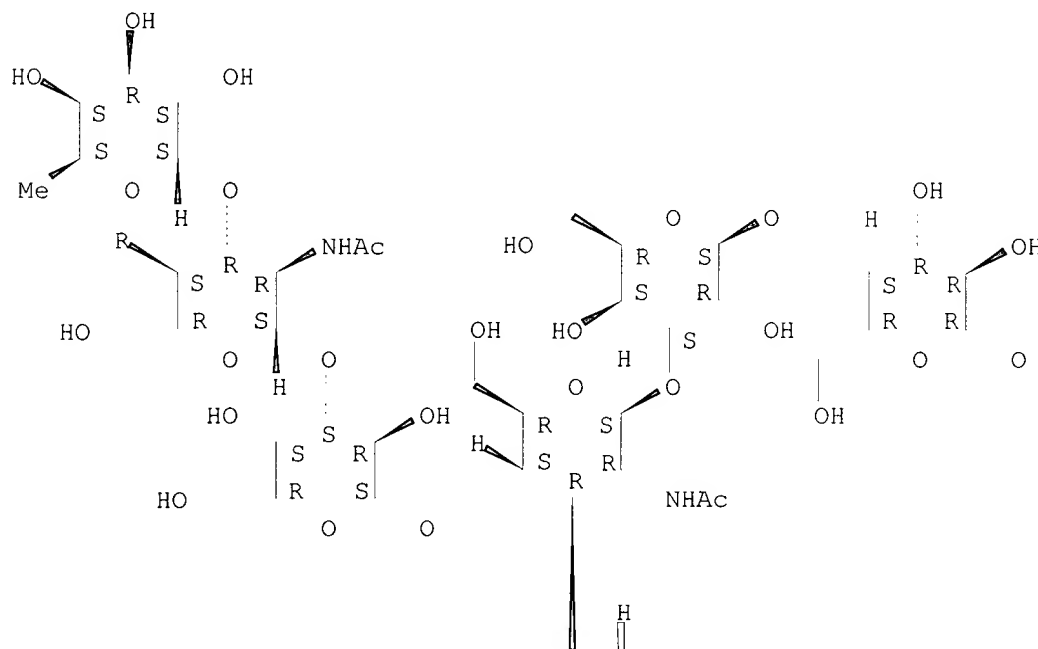
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SR CA

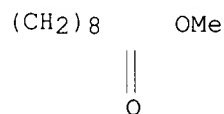
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).

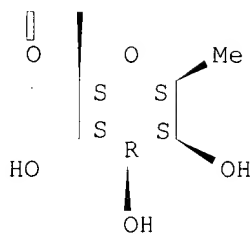
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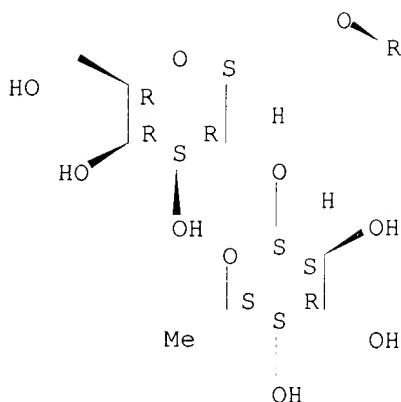
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PAGE 2-A



PAGE 3-A



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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:106326

L14 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 141853-16-9 REGISTRY

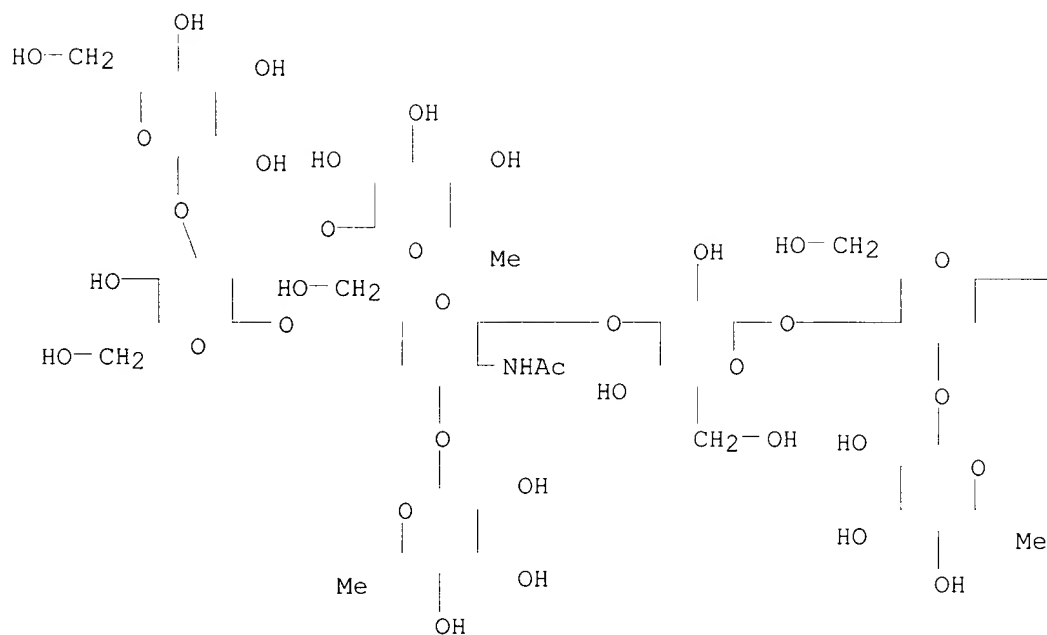
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MF C64 H108 N2 O48

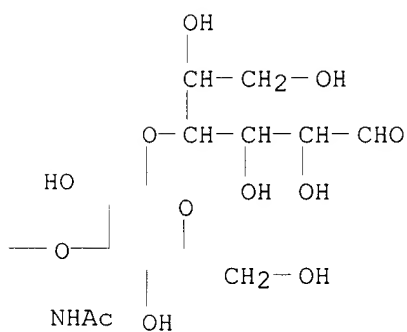
SR CA

LC STN Files: CA, CAPLUS

PAGE 1-A



PAGE 1-B



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1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:46150

L14 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 139715-59-6 REGISTRY

CN Acetamide, N-[4-[2-[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]oxy]ethyl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

MF C56 H86 F3 N3 O34

SR CA
LC STN Files: CA, CAPLUS

Chemical structure of a substituted sugar derivative:

CC1(C)OC(CO)C(OC(=O)R)C(OC(=O)R)C1O

The structure shows a five-membered ring with a methyl group (Me) at the C2 position. The C1 position is a CH₂OH group. The C3 and C4 positions are substituted with an ester group (NHAc) and a hydroxyl group (OH), respectively. The C5 position is a CH₂OH group.

Chemical structure of the poly(2-vinylpyridine) derivative (10) is shown, which is a copolymer of 2-vinylpyridine and 2-vinyl-4-(2,2,2-trifluoroethyl)pyridine. The structure features a backbone of repeating units with pendant groups including hydroxyl (OH), hydroxymethyl (CH₂-OH), and trifluoroethyl (CF₃) groups. The trifluoroethyl group is attached to the nitrogen atom of the pyridine ring.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 116:152240

L14 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2002 ACS

RN 122630-83-5 REGISTRY

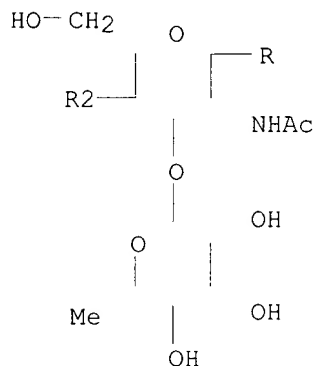
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deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-
(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-
(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-
deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)- (9CI) (CA INDEX NAME)

MF C52 H88 N2 O38

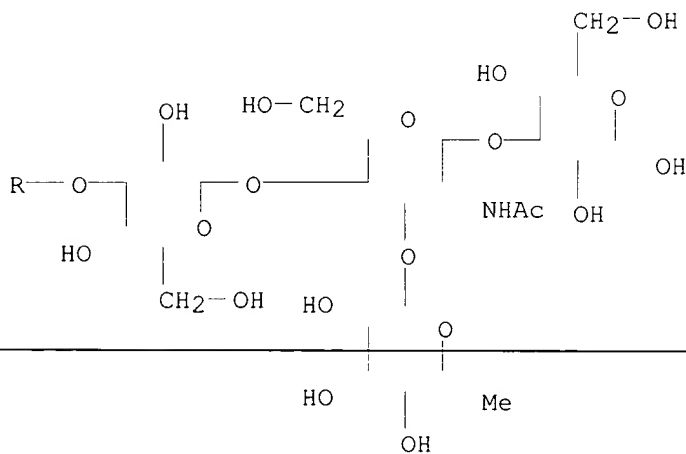
SR CA

LC STN Files: CA, CAPLUS

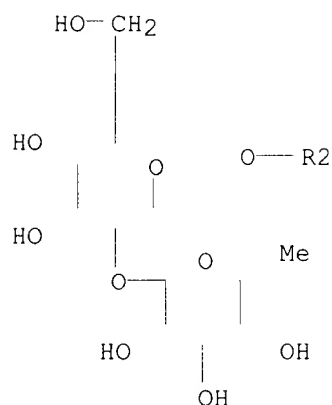
PAGE 1-A



PAGE 2-A



PAGE 3-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 111:131722

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 E US6238668/PN
 L2 1 S E3
 E US98-34950/AP,PRN
 E US97-34950/AP,PRN
 L3 1 S E5
 L4 1 S L1-L3
 SEL RN

FILE 'REGISTRY' ENTERED AT 10:25:47 ON 07 DEC 2002

L5 63 S E1-E63
 L6 35 S L5 AND NR>=6
 L7 22 S L6 AND N>=2
 L8 11 S L7 NOT (SI OR S)/ELS
 L9 10 S L8 NOT 46.150.18/RID
 L10 STR
 L11 4 S L10
 L12 86 S L10 FUL
 SAV L12 CANEL833/A
 L13 STR L10
~~L14 11 S L13 FUL SUB=L12~~
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 L17 0 S L14 AND 7/NR
 L18 4 S L5 AND L12

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L19 0 S L16

FILE 'HCAPLUS' ENTERED AT 10:51:30 ON 07 DEC 2002

L20 1 S L16

L21 9 S L14
E DANISHEFSKY S/AU

L22 604 S E3-E6
E DESHPANDE P/AU

L23 22 S E3,E12,E30-E32
E KIM I/AU

L24 108 S E3,E13
E KIM IN/AU

L25 54 S E3,E46,E52
E KIM INJONG/AU

L26 1 S E4
E LIVINGSTON P/AU

L27 113 S E3-E6,E12-E16
E KIM H/AU

L28 1382 S E3,E19-E22
E KIM HYUN/AU

L29 529 S E3,E48-E67
E KIM HUYNJIN/AU
E RAGUPATHI G/AU

L30 54 S E3-E6
E PARK T/AU

L31 21 S E3,E11
E PARK TAE/AU

L32 35 S E3,E42,E43
E KIM HYUN/AU

L33 68 S E3

L34 91 S E48,E58
E KIM HYUNJIN/AU

L35 11 S E3,E4,E5
E HYUN J/AU

L36 16 S E3,E6

L37 1 S E42

L38 5 S L21 AND L22-L37

L39 5 S L21 AND (SLOAN? OR KETTER?)/PA,CS

L40 9 S L21,L38,L39

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L41 0 S L16

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FILE 'REGISTRY' ENTERED AT 10:59:48 ON 07 DEC 2002

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FILE 'HCAPLUS' ENTERED AT 11:00:15 ON 07 DEC 2002

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FILE COVERS 1907 - 7 Dec 2002 VOL 137 ISS 24
FILE LAST UPDATED: 6 Dec 2002 (20021206/ED)

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CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> d 140 all hitstr tot

L40 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2002 ACS
AN 2002:298807 HCAPLUS
DN 136:400166
TI Constructing an adenocarcinoma vaccine: Immunization of mice with synthetic KH-1 nonasaccharide stimulates anti-KH-1 and anti-Ley antibodies
AU **Ragupathi, Govindaswami; Deshpande, Prashant P.;**
Coltart, Don M.; Kim, Hyunjin M.; Williams, Lawrence J.;
Danishefsky, Samuel J.; Livingston, Philip O.
CS Laboratory of Tumor Vaccinology, Department of Medicine, Memorial
Sloan-Kettering Cancer Center, New York, NY, USA
SO International Journal of Cancer (2002), 99(2), 207-212
CODEN: IJCNAW; ISSN: 0020-7136
PB Wiley-Liss, Inc.
DT Journal
LA English
CC 15-2 (Immunochemistry)
AB There is mounting evidence to suggest that immunization-based strategies can be used to mobilize the human immune system against specific carbohydrate antigens displayed on the surface of cancer cells. Following isolation and identification, such antigens can be administered as conjugate vaccines. The tumor-assocd. carbohydrate antigen KH-1 is 1 such antigen and may serve as a potential target for immunization against adenocarcinoma. However, a serious impediment to the application of a vaccine-based approach involving this antigen is that its availability from natural sources is severely limited. In order to overcome this limitation, the authors have developed an efficient total synthesis of this complex glycolipid. The authors have extended the synthesis to reach a structurally related analog in which the ceramide portion of KH-1 is replaced with an allyl substituent. These synthetic advances have led to the prepn. of 2 potential vaccine constructs, each based on the conjugation of the KH-1 nonasaccharide and the carrier protein keyhole limpet hemocyanin (KLH). In 1 construct (KH-1-Et-KLH), the nonasaccharide is conjugated to KLH via a simple Et linkage, while in the other (KH-1-MMCCH-KLH), conjugation is mediated by a 4-(4-N-maleimidomethyl)cyclohexane-1-carboxyl hydrazide (MMCCH) cross-linker. The authors report here the immunol. properties of these 2 constructs. Mice were immunized with either of the 2 KH-1-KLH vaccine candidates or the KH-1 ceramide, along with the immunol. adjuvant QS-21. Immunization with the ceramide served as a neg. control and, as expected, failed to stimulate the prodn. of antibodies against the KH-1 glycolipid. The construct in which the KH-1 nonasaccharide is linked to KLH via a simple alkyl chain stimulated significant quantities of IgM antibodies, whereas the construct linked to KLH by MMCCH induced high titers of both IgM and IgG antibodies. Inhibition data demonstrated that antibodies generated in response to immunization with the KH-1-KLH constructs recognize not only the KH-1 antigen but also the Lewisy (Ley) antigen, which, from a structural perspective, is similar to the 4 residues located at the

non-reducing end of the KH-1 nonasaccharide. Thus, the KH-1-KLH constructs elicit an immune response that successfully targets 2 adenocarcinoma markers. As assessed by FACS anal., the antibodies raised were strongly reactive with the KH-1/Ley pos. cell line MCF-7 but not with KH-1 and Ley neg. melanoma cell lines. Based on the results of this study, a KH-1-KLH plus QS-21 vaccine is being prepd. for clin. evaluation.

ST adenocarcinoma KH1 antigen nonasaccharide conjugate vaccine

IT Immunoglobulins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (G; humoral immune response to KH-1 nonasaccharide conjugate vaccine)

IT Human
 (KH-1 nonasaccharide conjugate vaccine induces antibodies to breast cancer cell line)

IT Immunoglobulins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (M; humoral immune response to KH-1 nonasaccharide conjugate vaccine)

IT Animal cell line
 (MCF-7; KH-1 nonasaccharide conjugate vaccine induces antibodies to)

IT Carcinoma
 (adenocarcinoma; humoral immune response to KH-1 nonasaccharide conjugate vaccine)

IT Hemocyanins
 RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (keyhole limpet, conjugates with KH-1 nonasaccharide; humoral immune response to)

IT Mammary gland
 (neoplasm; KH-1 nonasaccharide conjugate vaccine induces antibodies to cell line for)

IT Vaccines
 (tumor; humoral immune response to KH-1 nonasaccharide conjugate vaccine)

IT Antitumor agents
 (vaccines; humoral immune response to KH-1 nonasaccharide conjugate vaccine)

IT 82993-43-9, Lewis Y tetrasaccharide
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (KH-1 nonasaccharide conjugate vaccine induces antibodies cross-reactive with)

IT **202657-51-0**
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (conjugation to keyhole limpet hemocyanin)

IT 181148-00-5
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (for conjugation of KH-1 nonasaccharide to keyhole limpet hemocyanin carrier)

IT **428516-64-7DP**, keyhole limpet hemocyanin conjugates
 RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and immunogenicity of)

IT **202657-30-5**
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (prepn. and immunogenicity of keyhole limpet hemocyanin conjugates with nonasaccharide of)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anderson, P; J Immunol 1989, V142, P2464 HCAPLUS
- (2) Cappello, S; Cancer Immunol Immunother 1999, V48, P483 HCAPLUS
- (3) Capurro, M; Cancer Immunol Immunother 1998, V45, P334 HCAPLUS
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- (5) Deshpande, P; J Am Chem Soc 1998, V120, P1600 HCAPLUS

- (6) Deshpande, P; Nature 1997, V387, P164 HCAPLUS
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- (8) Hummel, G; Tetrahedron Lett 1997, V38, P1173 HCAPLUS
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- (12) Kim, Y; Cancer Res 1986, V46, P5985 MEDLINE
- (13) Livingston, P; Cancer Immunol Immunother 1997, V45, P10 HCAPLUS
- (14) Nudelman, E; J Biol Chem 1986, V261, P11247 HCAPLUS
- (15) Pozsagay, V; Proc Natl Acad Sci 1999, V96, P5194
- (16) Ragupathi, G; Angew Chem Int Ed 1997, V36, P125 HCAPLUS
- (17) Ragupathi, G; Cancer Immunol Immunother 1996, V43, P152 HCAPLUS
- (18) Ragupathi, G; Cancer Immunol Immunother 1999, V48, P1 HCAPLUS
- (19) Ragupathi, G; Glycoconjugate J 1998, V15, P217 HCAPLUS
- (20) Zhang, S; Cancer Immunol Immunother 1995, V40, P88 HCAPLUS
- (21) Zhang, S; Int J Cancer 1997, V73, P50 HCAPLUS

IT 202657-51-0

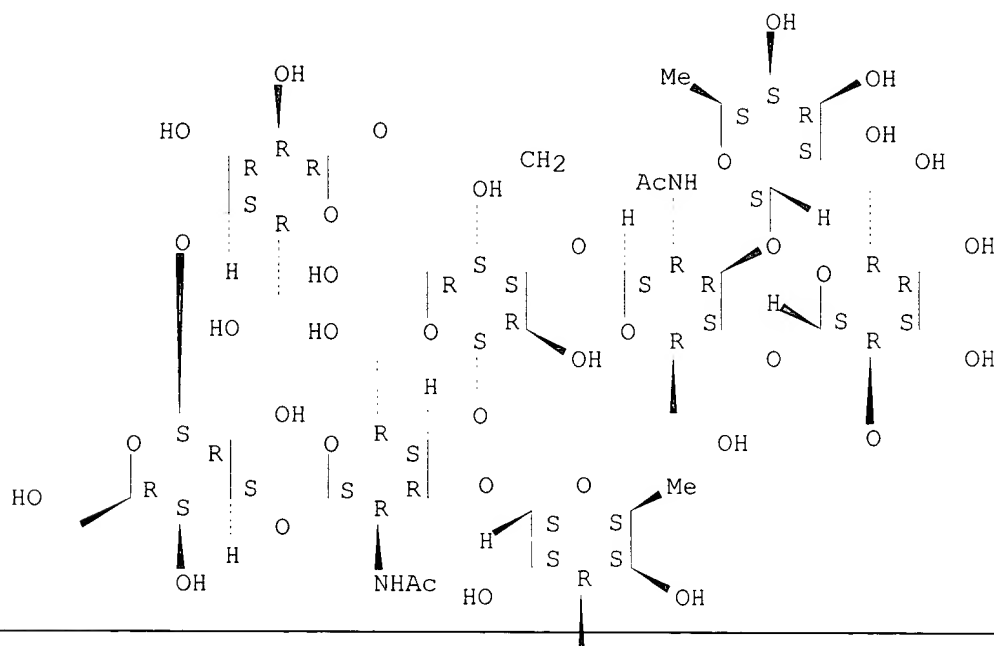
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RN 202657-51-0 HCAPLUS

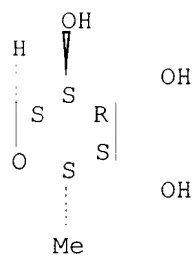
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Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B



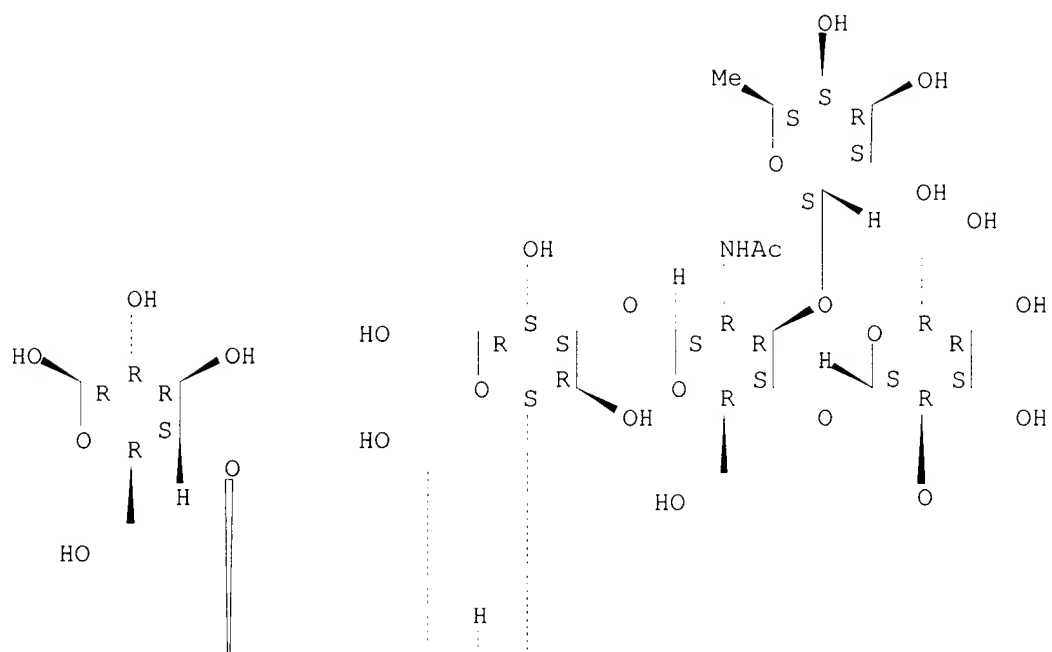
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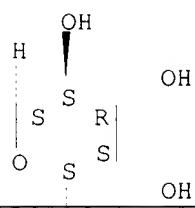
IT **428516-64-7DP**, keyhole limpet hemocyanin conjugates
 RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified);
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. and immunogenicity of)
 RN 428516-64-7 HCAPLUS
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Absolute stereochemistry.

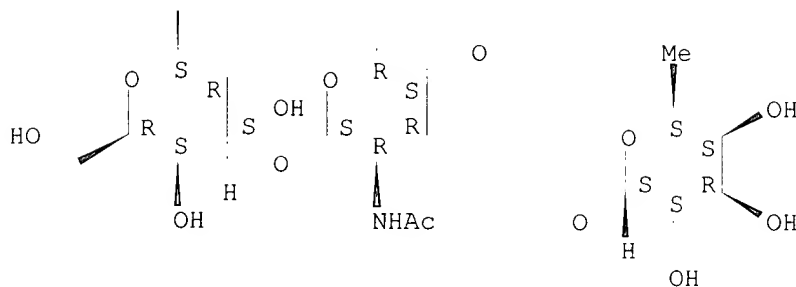
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PAGE 1-B



PAGE 2-A



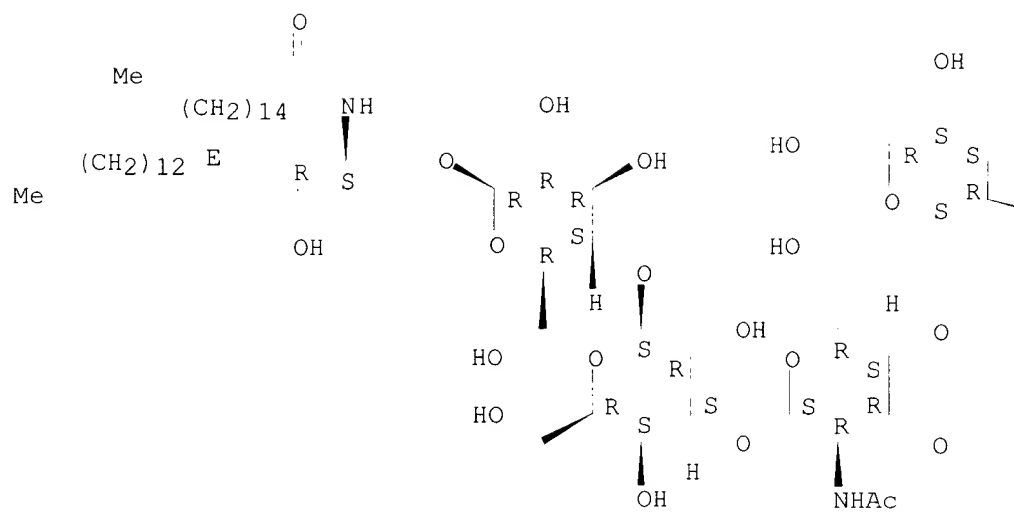
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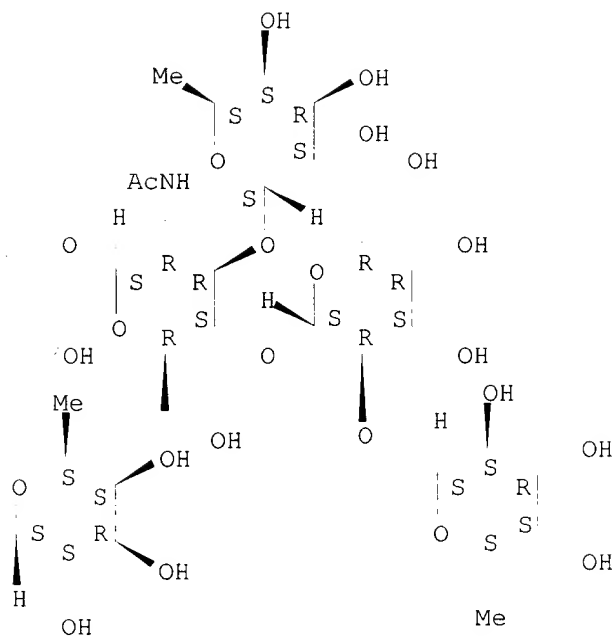
IT **202657-30-5**
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (prepn. and immunogenicity of keyhole limpet hemocyanin conjugates with
 nonasaccharide of)
 RN 202657-30-5 HCAPLUS
 CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-
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 deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-
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 (1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy)methyl]-2-hydroxy-3-heptadecenyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



Kim, In J.; Livingston, Philip; Hyun, Jim Kim;
Ragupathi, Govindaswami; Park, Tae Kyo

PA Sloan-Kettering Institute for Cancer Research, USA

SO PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K

CC 33-7 (Carbohydrates)

Section cross-reference(s): 1, 15, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9830190	A2	19980716	WO 1998-US1201	19980113
	W:				
	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9866477	A1	19980803	AU 1998-66477	19980113
	AU 747899	B2	20020530		
	EP 951484	A2	19991027	EP 1998-908437	19980113
	R:				
	BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 6238668	B1	20010529	US 1998-42280	19980113
	US 2002006900	A1	20020117	US 2001-833327	20010412
PRAI	US 1997-34950P	P	19970113		
	US 1998-42280	A3	19980113		
	WO 1998-US1201	W	19980113		
OS	MARPAT 129:136429				
AB	The present invention provides processes for the prepn. of the oligosaccharides KH-1 and N3 antigens, as well as related analogs thereof, which are useful as anticancer therapeutics. The present invention also provides various intermediates useful in the prepn. of KH-1 and N3 and analogs thereof. Addnl., the invention provides various compns. comprising any of the analogs of KH-1 and N3 available through the methods of the invention and pharmaceutical carriers useful in the treatment of subjects suffering from various forms of epithelial cancer. Serol. anal. of title compds. is reported.				
ST	immunization antigen oligosaccharide prepn antitumor serol; colon cancer antigen oligosaccharide prepn; acetamidodeoxy oligosaccharide prepn coupling antitumor				
IT	Intestine, neoplasm (colon; prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)				
IT	Antitumor agents Coupling reaction Immunization (prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)				
IT	Oligosaccharides, preparation RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)				
IT	Antigens RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)				

IT Diagnosis
(serodiagnosis; prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 202833-23-6P 210427-19-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 202657-30-5P 202657-51-0P 210427-01-3P 210427-13-7P 210427-21-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 623-65-4, Palmitic anhydride 13265-84-4, Glucal 21193-75-9, D-Galactal
77856-03-2 127061-08-9 130259-14-2 137915-37-8 142800-26-8
145852-76-2 149625-81-0 149847-26-7 163228-32-8 167934-23-8
210427-05-7 210427-06-8 210427-08-0 210427-09-1 210427-10-4
210427-11-5 210427-12-6 210427-15-9 210427-16-0 210427-18-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 165524-85-6P 188010-90-4P 191490-23-0P 202657-32-7P 202657-33-8P
202657-34-9P 202657-35-0P 202657-36-1P 202657-37-2P 202657-38-3P
202657-39-4P 202657-40-7P 202657-43-0P 202657-44-1P 202657-45-2P
202657-46-3P 202657-47-4P 202657-48-5P 202657-49-6P 202657-50-9P
210427-03-5P 210427-14-8P 210427-20-6P 210427-22-8P
210427-23-9P 210427-24-0P 210427-25-1P 210427-26-2P 210427-28-4P
210427-29-5P 210427-30-8P 210427-53-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 210427-27-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

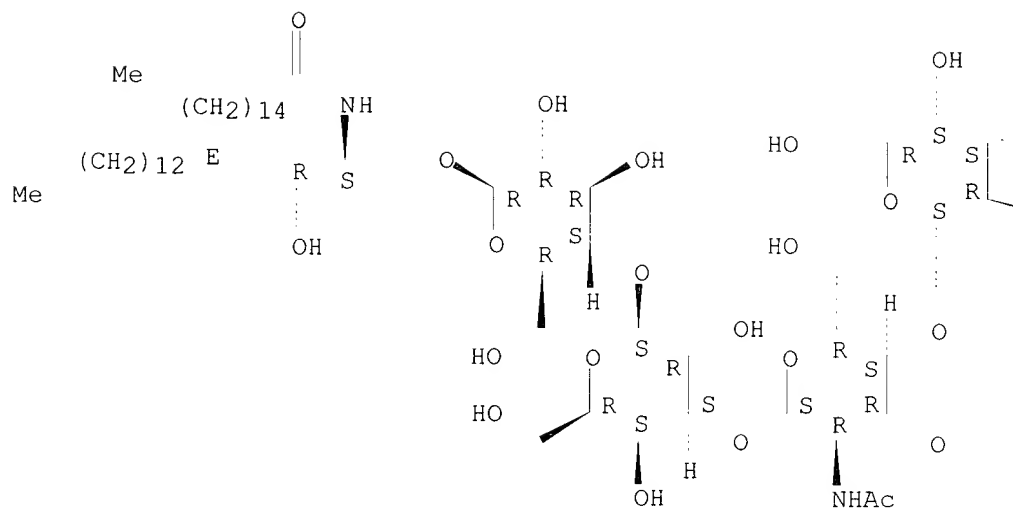
IT 202657-30-5P 202657-51-0P 210427-21-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

RN 202657-30-5 HCAPLUS

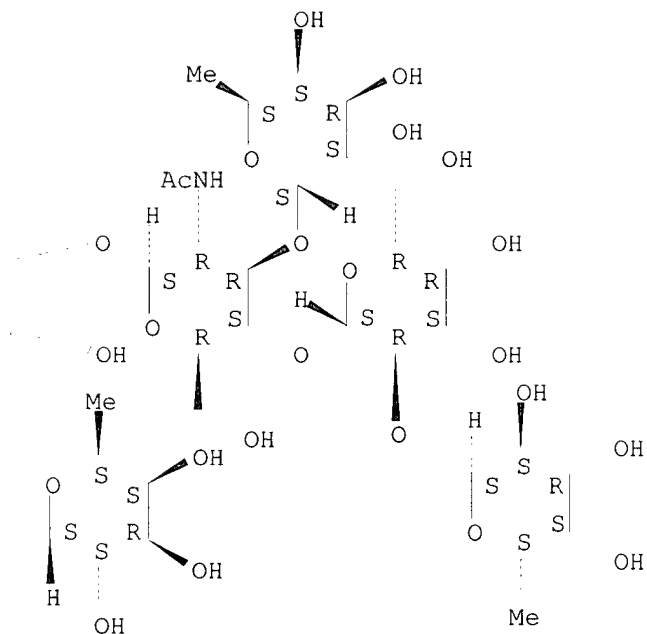
CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy)methyl]-2-hydroxy-3-heptadecenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

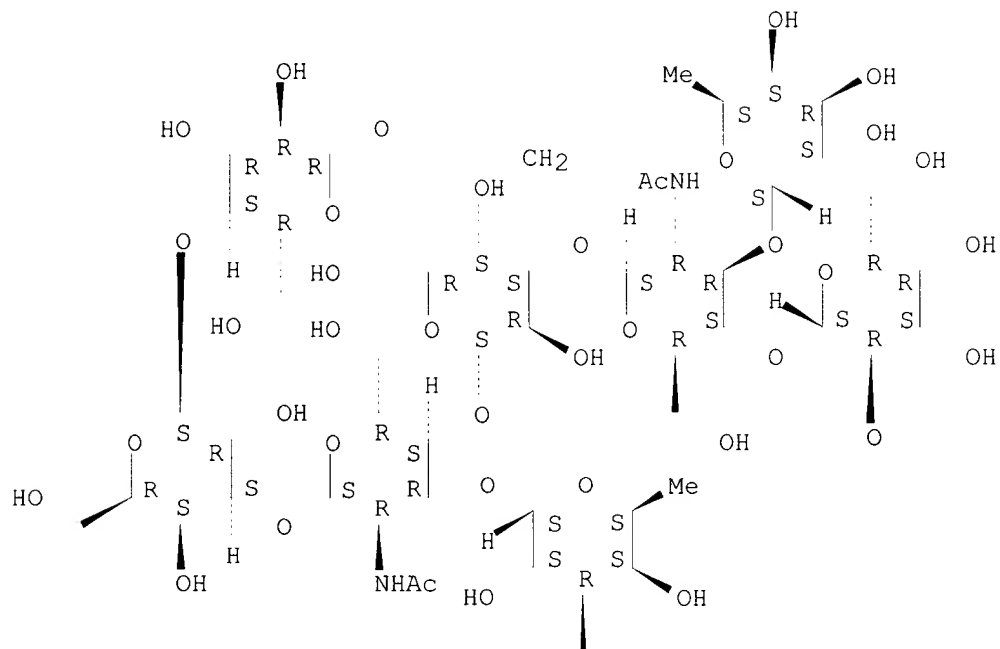


RN 202657-51-0 HCAPLUS
 CN .beta.-D-Glucopyranoside, 2-propenyl O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-

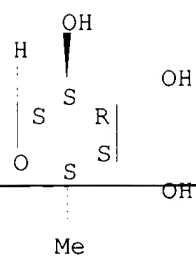
(1.fwdarw.4)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B



PAGE 2-A

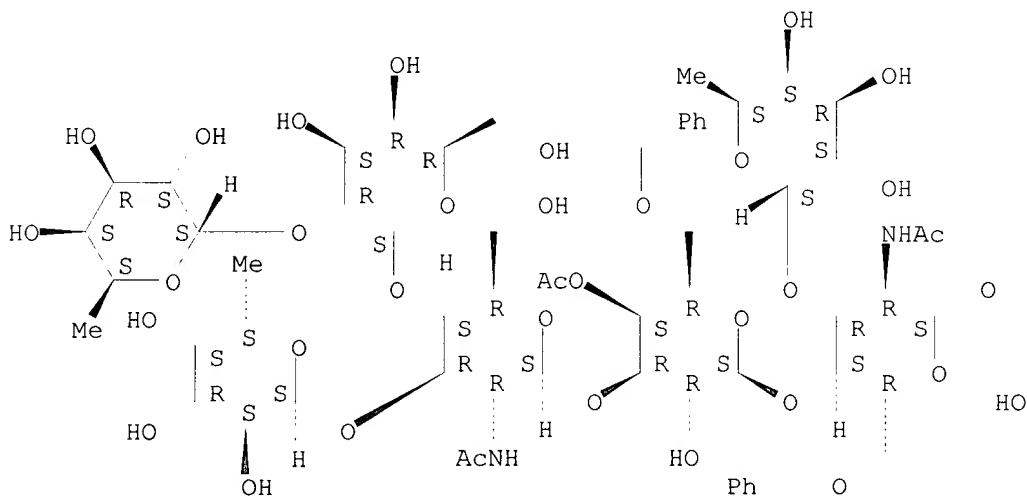


RN 210427-21-7 HCAPLUS

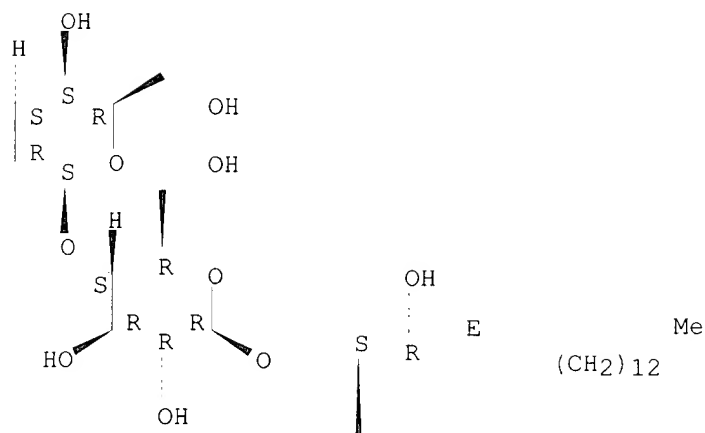
CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-4-O-acetyl-6-O-(phenylmethyl)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-6-O-(phenylmethyl)-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

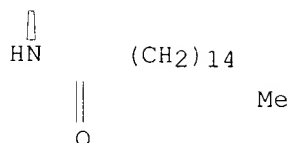
PAGE 1-A



PAGE 1-B



PAGE 2-B



IT 210427-20-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

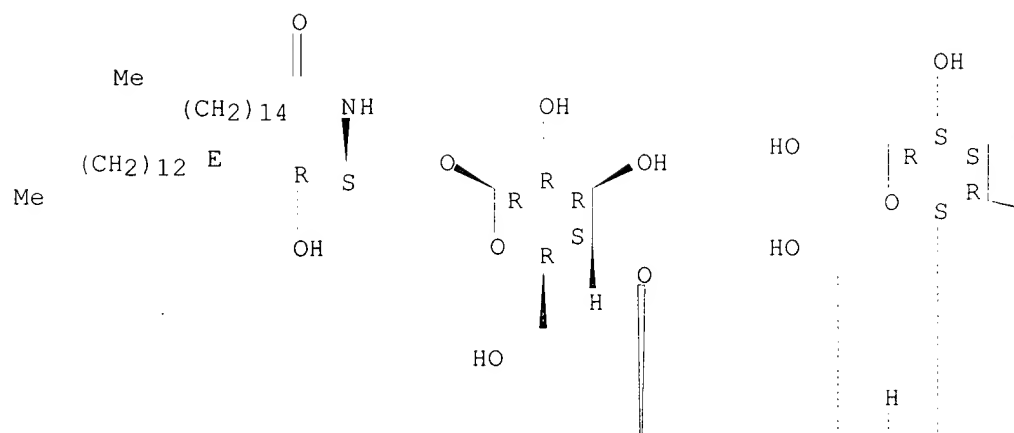
RN 210427-20-6 HCAPLUS

CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-amino-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-amino-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl)oxy]methyl]-2-hydroxy-3-heptadecenyl]- (9CI) (CA INDEX NAME)

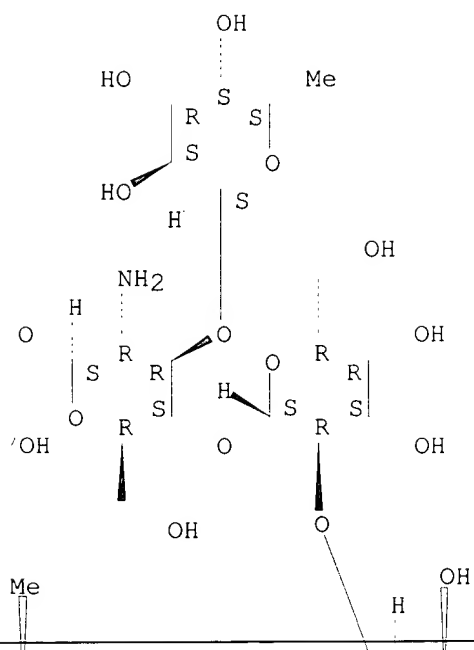
Absolute stereochemistry.

Double bond geometry as shown.

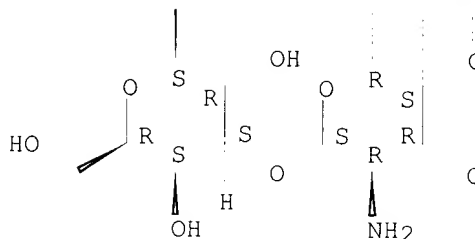
PAGE 1-A



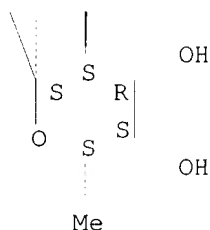
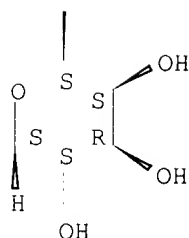
PAGE 1-B



PAGE 2-A



PAGE 2-B



L40 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 1998:81609 HCAPLUS
 DN 128:167621
 TI Strategy in Oligosaccharide Synthesis: An Application to a Concise Total
 Synthesis of the KH-1(adenocarcinoma) Antigen
 AU **Deshpande, Prashant P.; Kim, Hyunjin M.; Zatorski,**
Andrzej; Park, Tae-Kyo; Ragupathi, Govindaswami;
Livingston, Philip O.; Live, David; Danishefsky, Samuel J.
 CS Laboratories for Bioorganic Chemistry, Tumor Vaccinology and Nucleic Acid
 and Protein Structure **Sloan-Kettering** Institute For
 Cancer Research, New York, 10021, USA
 SO Journal of the American Chemical Society (1998), 120(7), 1600-1614
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 CC 33-7 (Carbohydrates)
 Section cross-reference(s): 15
 AB A concise and potentially practical synthesis of the title compd. has been
 achieved. The route features a high degree of convergence and economy of
 synthetic operations. A key step is the concurrent introductory addn. of
 three .alpha.-L-fucosyl residues at required hydroxyl acceptor sites.
 Conjugation to carrier protein was achieved, and a route to include
 truncated structures for investigations for antibody specificity was
 accomplished.
 ST adenocarcinoma antigen oligosaccharide prepn
 IT Antigens
 Oligosaccharides, preparation
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the KH-1(adenocarcinoma) antigen)
 IT 100-39-0, Benzyl bromide 13265-84-4, D-Glucal 130259-14-2
 149847-26-7 167934-23-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (total synthesis of the KH-1(adenocarcinoma) antigen)
 IT 145852-76-2P 165524-85-6P 188010-90-4P 202657-32-7P 202657-33-8P

202657-34-9P 202657-35-0P 202657-36-1P 202657-37-2P 202657-38-3P
 202657-39-4P 202657-40-7P 202657-41-8P 202657-43-0P 202657-44-1P
 202657-45-2P 202657-46-3P 202657-47-4P 202657-48-5P 202657-49-6P
 202657-50-9P **202657-51-0P** 202657-52-1P 202657-53-2P
 202657-54-3P 202657-56-5P 202657-57-6P 202833-21-4P 202833-23-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(total synthesis of the KH-1(adenocarcinoma) antigen)

IT **202657-30-5P** 202657-42-9P 202657-58-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(total synthesis of the KH-1(adenocarcinoma) antigen)

IT **202657-51-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

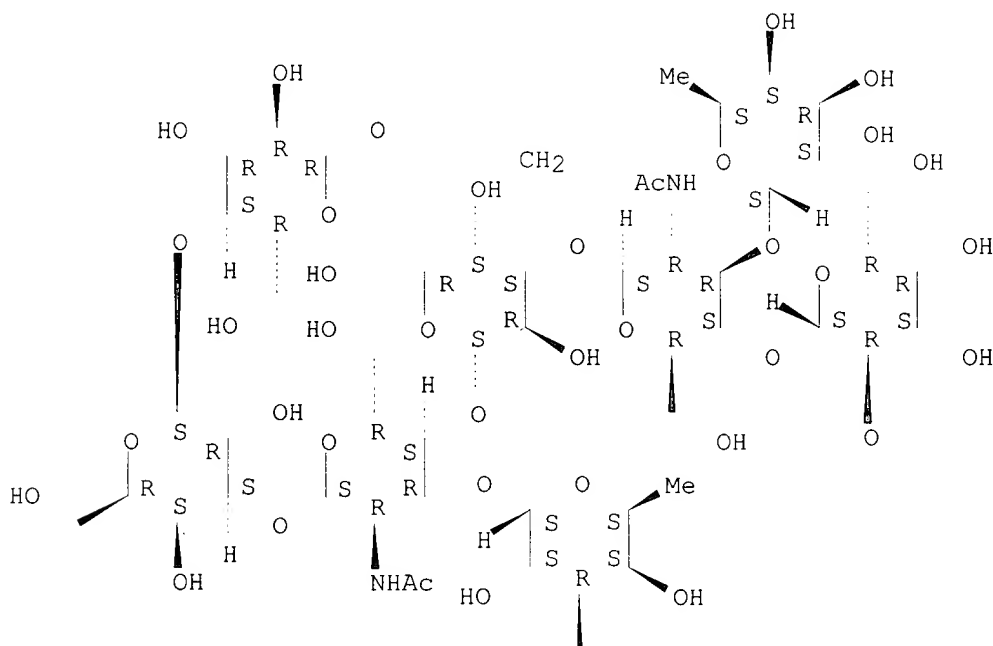
(total synthesis of the KH-1(adenocarcinoma) antigen)

RN 202657-51-0 HCAPLUS

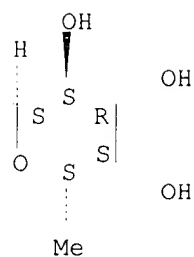
CN .beta.-D-Glucopyranoside, 2-propenyl O-6-deoxy-.alpha.-L-galactopyranosyl-
 (1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-
 deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-
 (1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-
 deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B



PAGE 2-A



IT 202657-30-5P

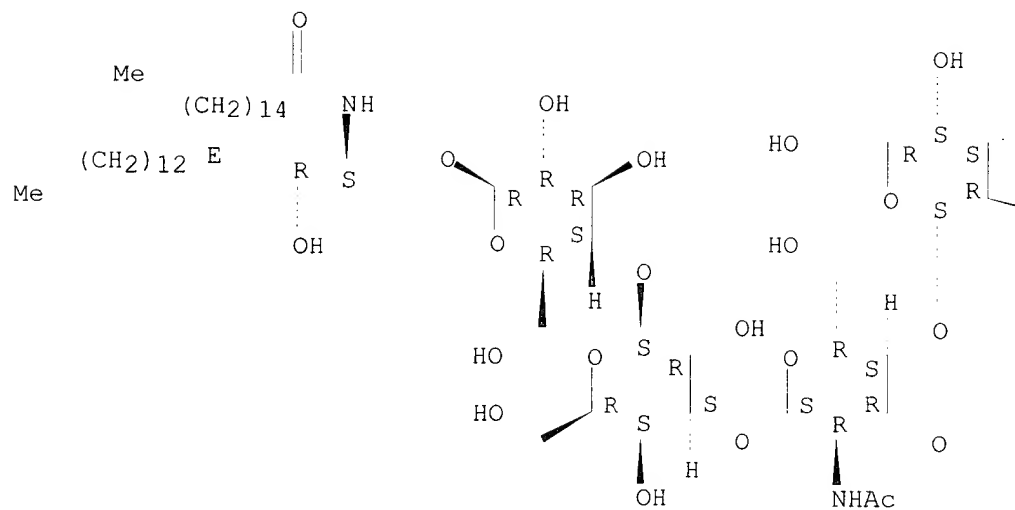
RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the KH-1(adenocarcinoma) antigen)

RN 202657-30-5 HCAPLUS

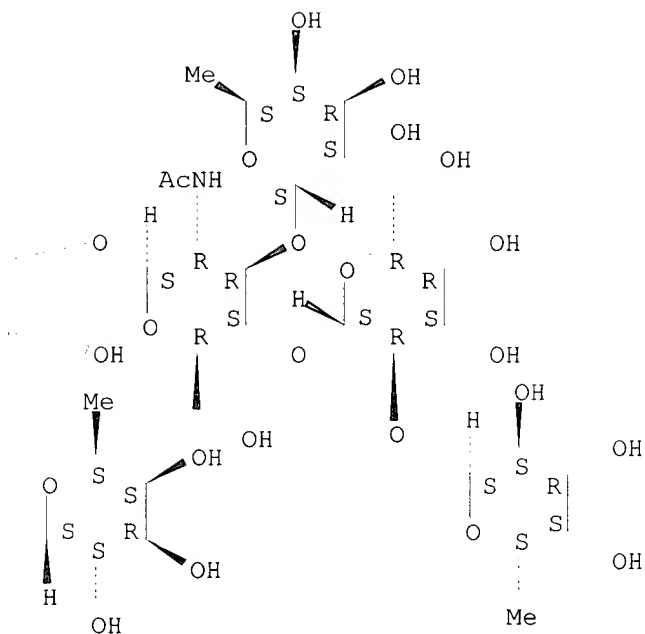
CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L40 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 1997:451734 HCAPLUS
 DN 127:205782
 TI Total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen. [Erratum to document cited in CA127:66045]
 AU Deshpande, Prashant P.; Danishefsky, Samuel J.

CS Laboratory for Bioorganic Chemistry, **Sloan-Kettering**
 Institute for Cancer Research, New York, NY, 10021, USA

SO Nature (London) (1997), 388(6638), 210 *Nov*
 CODEN: NATUAS; ISSN: 0028-0836

PB Macmillan Magazines

DT Journal

LA English

CC 33-7 (Carbohydrates)

AB Structures 1, 2, 5, 7 and 11 have been cor.

ST erratum acetamidodeoxy oligosaccharide KH1 adenocarcinoma antigen;
 acetamidodeoxy oligosaccharide KH1 adenocarcinoma antigen erratum;
 oligosaccharide KH1 adenocarcinoma antigen prepn erratum

IT 130259-14-2 163439-79-0 188010-90-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (total synthesis of the potential anticancer vaccine KH-1
 adenocarcinoma antigen (Erratum))

IT 173008-15-6P 191286-87-0P 191286-88-1P 191286-89-2P 191286-90-5P
 191286-91-6P 191286-92-7P 191286-93-8P 191286-94-9P 191286-95-0P
 191286-96-1P 191286-97-2P 191490-23-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (total synthesis of the potential anticancer vaccine KH-1
 adenocarcinoma antigen (Erratum))

IT **191286-85-8P 191286-86-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the potential anticancer vaccine KH-1
 adenocarcinoma antigen (Erratum))

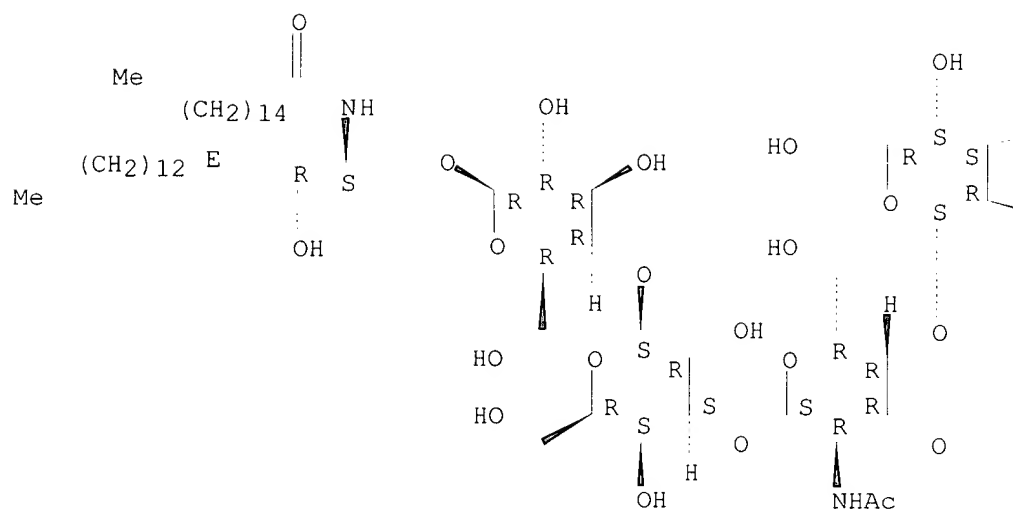
IT **191286-85-8P 191286-86-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the potential anticancer vaccine KH-1
 adenocarcinoma antigen (Erratum))

RN 191286-85-8 HCAPLUS

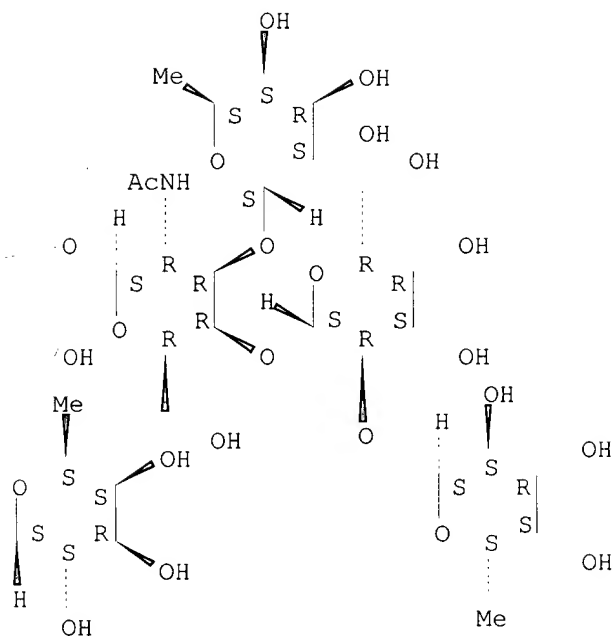
CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-
 (1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-
 deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)]]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-
 (1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]]-O-2-(acetylamino)-2-
 deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)-.beta.-D-galactopyranosyl]oxy)methyl]-2-hydroxy-3-
 heptadecenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

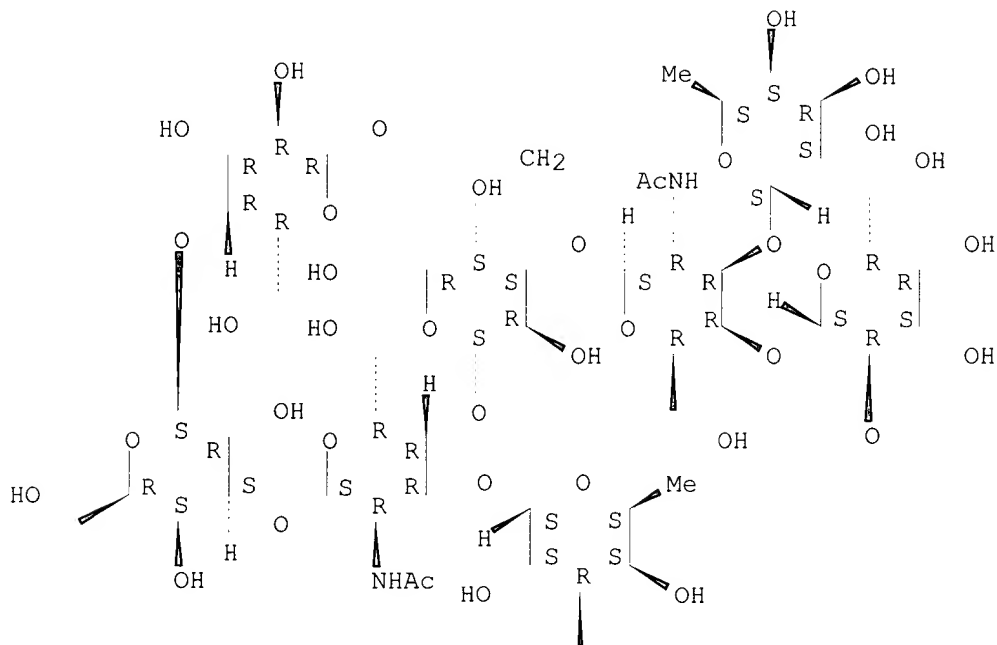


RN 191286-86-9 HCAPLUS
 CN .beta.-D-Galactopyranoside, 2-propenyl O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-O-.beta.-D-

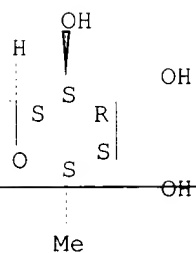
galactopyranosyl-(1.fwdarw.4)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



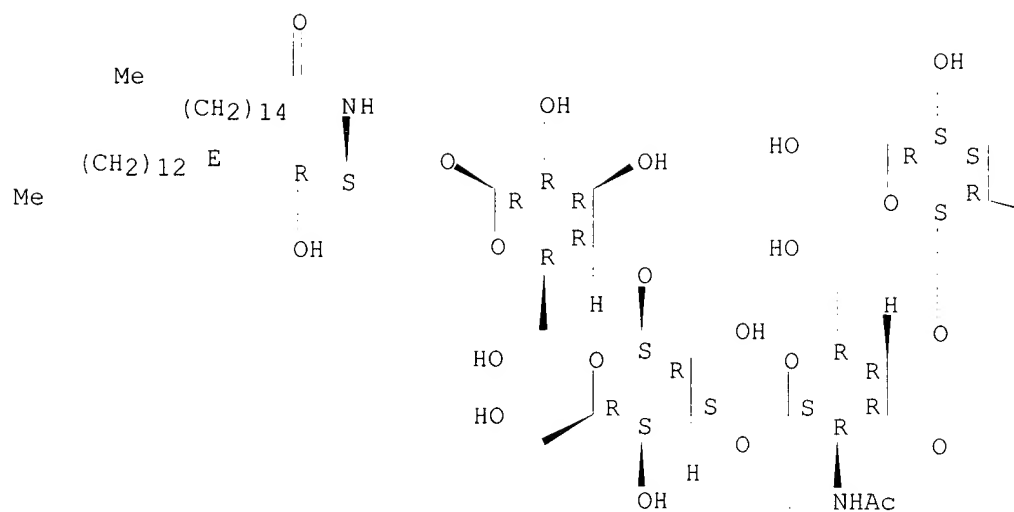
PAGE 2-A

$$\begin{array}{c} \text{r} \\ | \\ \text{OH} \end{array}$$

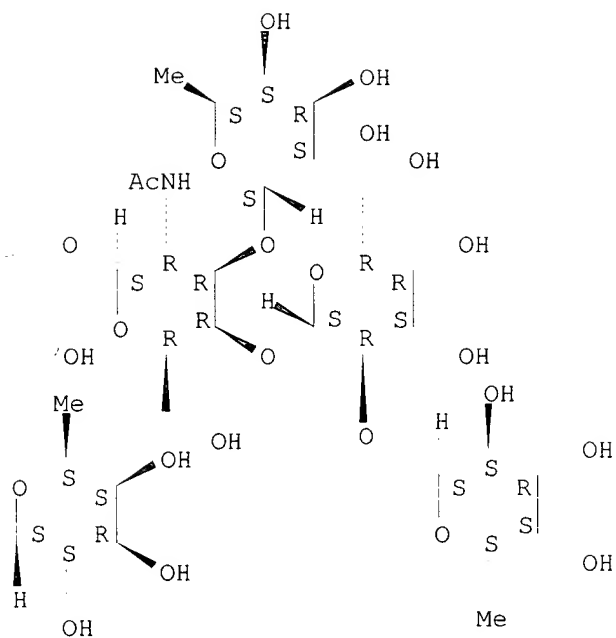
L40 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 1997:319026 HCAPLUS
 DN 127:66045
 TI Total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen
 AU **Deshpande, Prashant P.; Danishefsky, Samuel J.**
 CS Laboratory for Bioorganic Chemistry, **Sloan-Kettering**
 Institute for Cancer Research, New York, NY, 10021, USA
 SO Nature (London) (1997), 387(6629), 164-166
 CODEN: NATUAS; ISSN: 0028-0836
 PB Macmillan Magazines
 DT Journal
 LA English
 CC 33-7 (Carbohydrates)
 AB Total prepn. of an adenocarcinoma antigen, KH-1, and of a bio-conjugatable analog which can bind to a carrier protein is reported. These results illustrate the capabilities of oligosaccharide synthesis for reconstructing the challenging structural motifs characteristic of carbohydrate antigens, and thereby open up new possibilities for the development of anticancer vaccines.
 ST acetamidodeoxy oligosaccharide KH1 adenocarcinoma antigen prepn
 IT 130259-14-2 163439-79-0 188010-90-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen)
 IT 173008-15-6P 191286-87-0P 191286-88-1P 191286-89-2P 191286-90-5P
 191286-91-6P 191286-92-7P 191286-93-8P 191286-94-9P 191286-95-0P
 191286-96-1P 191286-97-2P 191490-23-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen)
 IT **191286-85-8P 191286-86-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen)
 IT **191286-85-8P 191286-86-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of the potential anticancer vaccine KH-1 adenocarcinoma antigen)
 RN 191286-85-8 HCAPLUS
 CN Hexadecanamide, N-[(1S,2R,3E)-1-[[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-galactopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

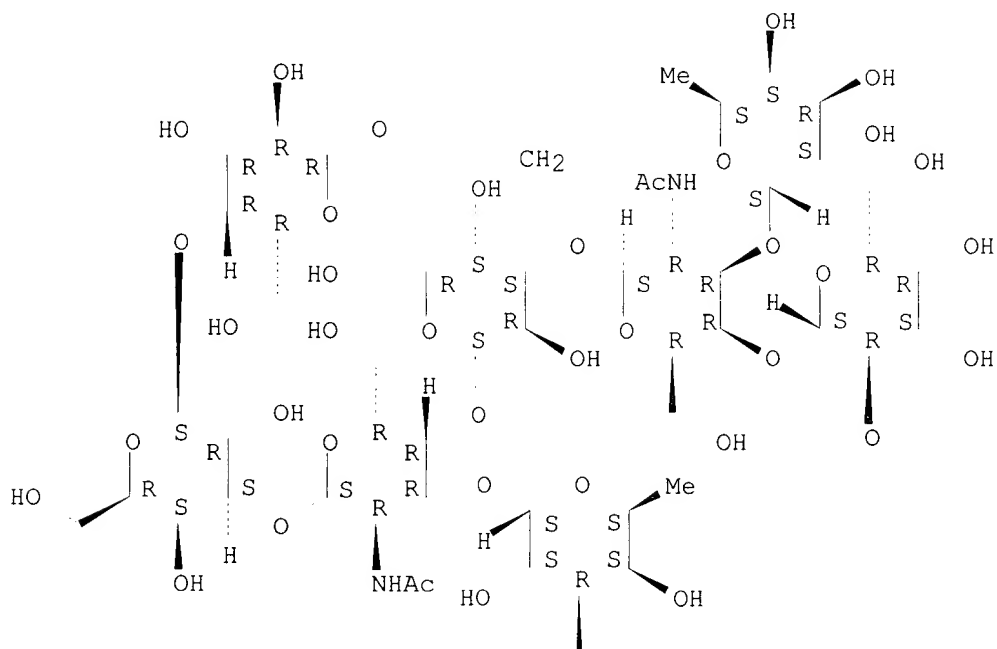


RN 191286-86-9 HCAPLUS
 CN .beta.-D-Galactopyranoside, 2-propenyl O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-galactopyranosyl-(1.fwdarw.3)-O-.beta.-D-

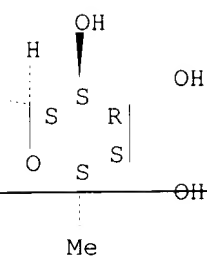
galactopyranosyl-(1.fwdarw.4)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



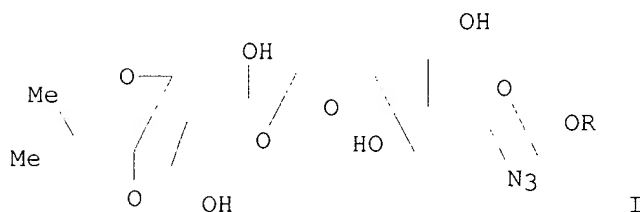
PAGE 1-B



PAGE 2-A



L40 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2002 ACS
 AN 1995:182417 HCAPLUS
 DN 122:106326
 TI Efficient synthesis of lactoneo series antigens H, Lewis X (Lex), and Lewis Y (Ley)
 AU Windmueller, Rainer; Schmidt, Richard R.
 CS Fakultät Chemie, Univ. Konstanz, Konstanz, D-78434, Germany
 SO Tetrahedron Letters (1994), 35(43), 7927-30
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier
 DT Journal
 LA English
 CC 33-8 (Carbohydrates)
 OS CASREACT 122:106326
 GI



AB A very efficient synthesis of spacer-linked antigens H, Lewis X, Lewis Y, dimer Lewis X, and dimer Lewis Y from azidolactose I (R = hexyldimethylsilyl) via regioselective benzylation and regio- and stereoselective glycosidation of sugars.
 ST oligosaccharide Lewis X Y; stereoselective glycosidation sugar; regioselective benzylation sugar; azidolactose conversion antigen H Lewis X; lactoneo antigen H Lewis X
 IT Benzylation
 Glycosidation
 Regiochemistry
 Stereochemistry
 (synthesis of spacer-linked antigens H, Lewis X and Y derivs. from azidolactose)
 IT Oligosaccharides
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of spacer-linked antigens H, Lewis X and Y derivs. from azidolactose)

 IT 34957-73-8 160720-71-8 160720-72-9 160720-82-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of spacer-linked antigens H, Lewis X and Y derivs. from azidolactose)
 IT 160720-73-0P 160720-74-1P 160720-75-2P 160720-76-3P 160720-77-4P
 160720-78-5P 160720-79-6P 160720-80-9P 160720-81-0P 160720-83-2P
 160720-84-3P 160720-85-4P 160720-86-5P 160720-87-6P 160720-88-7P
 160720-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of spacer-linked antigens H, Lewis X and Y derivs. from
azidolactose)

IT 160720-66-1P 160720-67-2P 160720-68-3P 160720-69-4P

160720-70-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of spacer-linked antigens H, Lewis X and Y derivs. from
azidolactose)

IT **160720-70-7P**

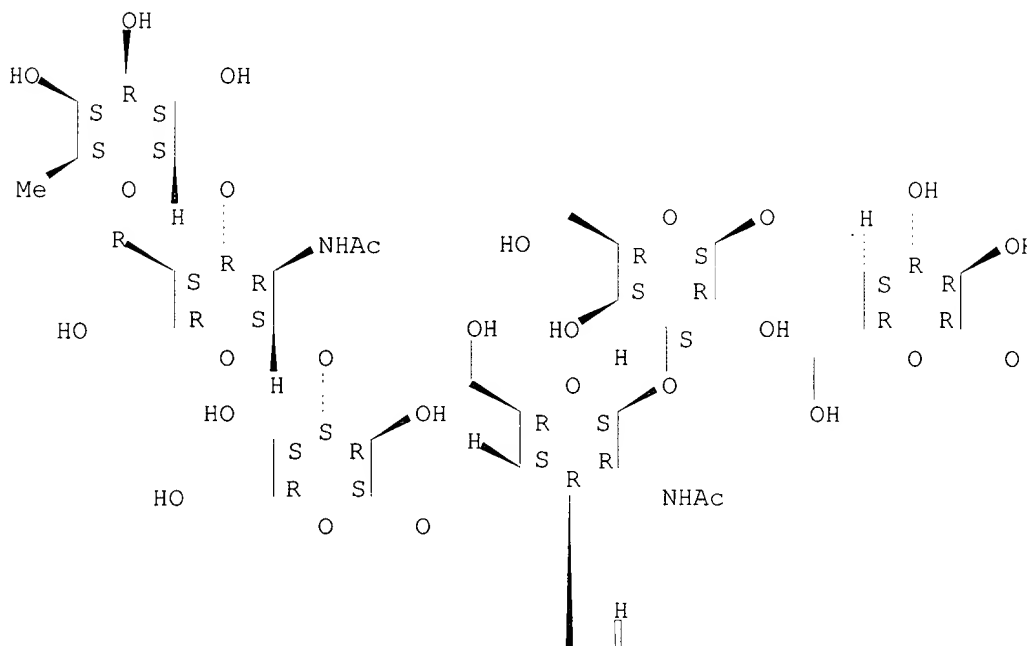
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of spacer-linked antigens H, Lewis X and Y derivs. from
azidolactose)

RN 160720-70-7 HCAPLUS

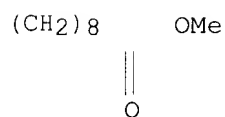
CN Nonanoic acid, 9-[[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-.beta.-D-glucopyranosyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

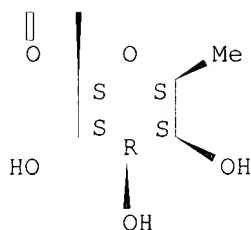
PAGE 1-A



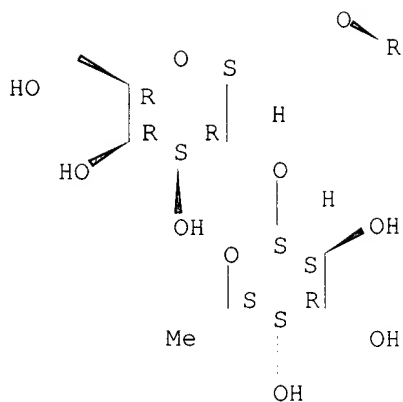
PAGE 1-B



PAGE 2-A



PAGE 3-A



L40 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1992:446150 HCAPLUS

DN 117:46150

TI Monoclonal antibody GOM-2 binds to blood group B-Ley active glycolipid antigens on human gastric cancer cells, KATO-III

AU Sueyoshi, Shinobu; Nagakura, Hitomi; Kato, Akira; Uetsuki, Setsuyoshi; Nakayama, Yasuo; Adachi, Masakazu

CS Formulation Res. Inst., Otsuka Pharm. Co., Tokushima, 771-01, Japan

SO Glycoconjugate Journal (1992), 9(2), 99-108

CODEN: GLJOEW; ISSN: 0282-0080

DT Journal
 LA English
 CC 15-2 (Immunochemistry)
 AB The antigen structure for a mouse monoclonal antibody, GOM-2, established by immunization with KATO-III human gastric cancer cells, was examd. GOM-2 reactive glycolipids were prepd. from KATO-III cells and treated with endoglycoceramidase. Structural studies of 10 GOM-2 reactive oligosaccharides by a combination of glycosidase digestions, methylation, and affinity chromatog. on an Ulex eruopeus agglutinin I (UEA-I) column revealed that 9 of them had a Y-related B-active difucosylated determinant (B0-Ley) and 1 had a B-active determinant. Affinity chromatog. of the purified and modified oligosaccharides on an immobilized GOM-2 column demonstrated that GOM-2 has a novel binding specificity: it binds tightly to the biantennary structure carrying the B-Ley determinant at the termini or the branched structure carrying the B-Ley structure at 2 nonreducing termini.

ST blood group B Ley gastric cancer; glycolipid blood group antibody gastric cancer

IT Blood-group substances
 RL: BIOL (Biological study)
 (B-Ley, determinant, of glycolipids of human gastric cancer, monoclonal antibody reactivity to)

IT Stomach, neoplasm
 (blood group B-Ley-active glycolipids of human, oligosaccharides of, monoclonal antibody reactivity to)

IT Oligosaccharides
 RL: BIOL (Biological study)
 (of blood group B-Ley-active glycolipids of human gastric cancer, monoclonal antibody reactivity to)

IT Animal cell line
 (KATO-III, blood group B-Ley-active glycolipids of human, oligosaccharides of, monoclonal antibody reactivity to)

IT Antibodies
 RL: BIOL (Biological study)
 (monoclonal, to blood group B-Ley-active glycolipids of human gastric cancer, oligosaccharide reactivity of)

IT Glycolipids
 RL: BIOL (Biological study)
 (neutral, blood group B-Ley-active, oligosaccharides of, of human gastric cancer, monoclonal antibody reactivity to)

IT 141853-15-8
 RL: BIOL (Biological study)
 (of blood group B type II-active glycolipid of human gastric cancer, monoclonal antibody reactivity to)

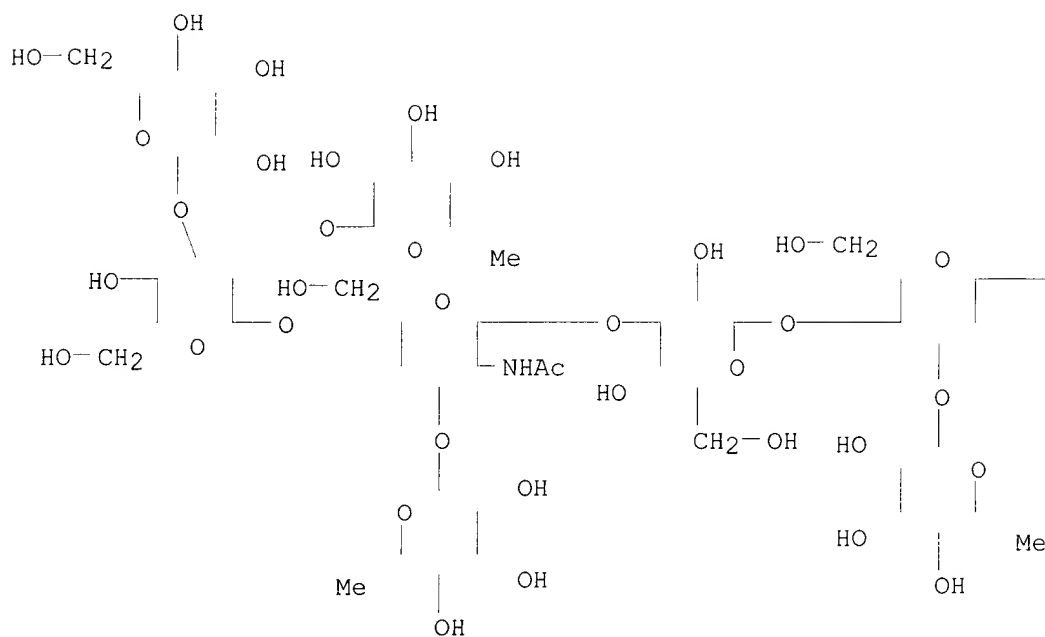
IT 141853-13-6 141853-14-7 **141853-16-9** 142146-13-2
 142187-60-8 142187-61-9 142187-62-0 142187-63-1 142187-64-2
 RL: BIOL (Biological study)
 (of blood group B-Ley-active glycolipids of human gastric cancer, monoclonal antibody reactivity to)

IT **141853-16-9**
 RL: BIOL (Biological study)
 (of blood group B-Ley-active glycolipids of human gastric cancer, monoclonal antibody reactivity to)

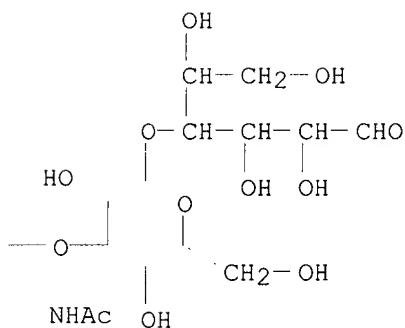
RN 141853-16-9 HCAPLUS

CN D-Glucose, O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-O-[.alpha.-D-galactopyranosyl-(1.fwdarw.3)]-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L40 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2002 ACS

AN 1992:152240 HCAPLUS

DN 116:152240

TI Synthesis of a trifucosyl Ley heptasaccharide corresponding to a tumor-associated glycolipid

AU Helland, Anne Charlotte; Nilsson, Marianne; Norberg, Thomas

CS Dep. Org. Chem., Stockholm Univ., Stockholm, S-106 91, Swed.

SO Journal of Carbohydrate Chemistry (1992), 11(1), 77-88

CODEN: JCACDM; ISSN: 0732-8303

DT Journal

LA English

CC 33-7 (Carbohydrates)

AB The trifucosyl LeV deriv., 2-(p-trifluoroacetamidophenyl)ethyl
 O-.alpha.-L-fucopyranosyl-(1.fwdarw.2)-O-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)-O-[.alpha.-L-fucopyranosyl-(1.fwdarw.3)]-O-2-acetamido-2-
 deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-

(1.fwdarw.4)-O-[.alpha.-L-fucopyranosyl-(1.fwdarw.3)]-2-acetamido-2-deoxy-.beta.-D-glucopyranoside, was synthesized from thioglycoside building blocks. A two plus three condensation gave a linear pentasaccharide deriv. which was difucosylated and deprotected to give the target structure.

ST oligosaccharide fucosyl ceramide

IT Oligosaccharides

RL: SPN (Synthetic preparation); PREP (Preparation)

(trifucosyl heptasaccharide, prepn. of, from thioglycosides)

IT 120336-43-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(coupling of, with trisaccharide)

IT 33639-77-9 115152-51-7 131564-36-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(glycosidation of)

IT 139715-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and coupling of, with disaccharide)

IT 139715-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deacetylation of)

IT 139715-58-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and deblocking of)

IT 139715-51-8P 139715-53-0P 139715-55-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and glycosidation of)

IT 139715-49-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and partial acetylation of)

IT 139739-59-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and partial deblocking of)

IT 139715-50-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with bromine)

IT 139715-56-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and N-acetylation of)

IT 139715-57-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and N-trifluoroacetylation of)

IT **139715-59-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

IT **139715-59-6P**

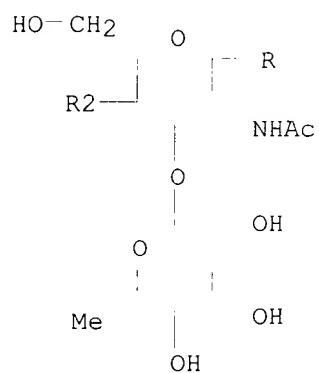
~~RL: SPN (Synthetic preparation); PREP (Preparation)~~

(prepn. of)

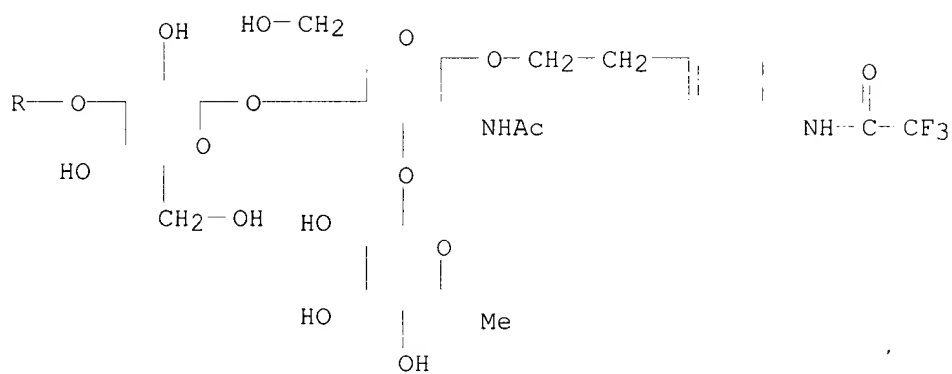
RN 139715-59-6 HCAPLUS

CN Acetamide, N-[4-[2-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]oxy]ethyl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

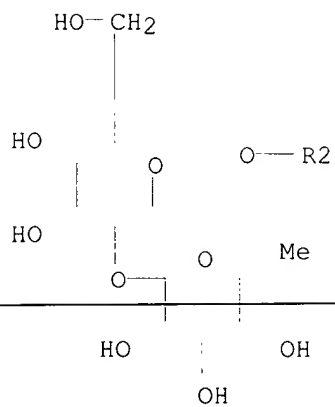
PAGE 1-A



PAGE 2-A



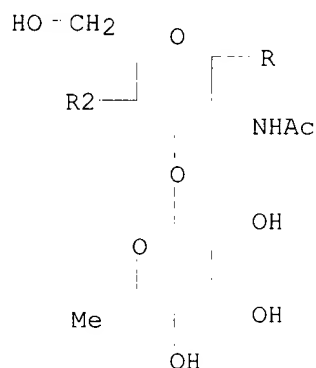
PAGE 3-A



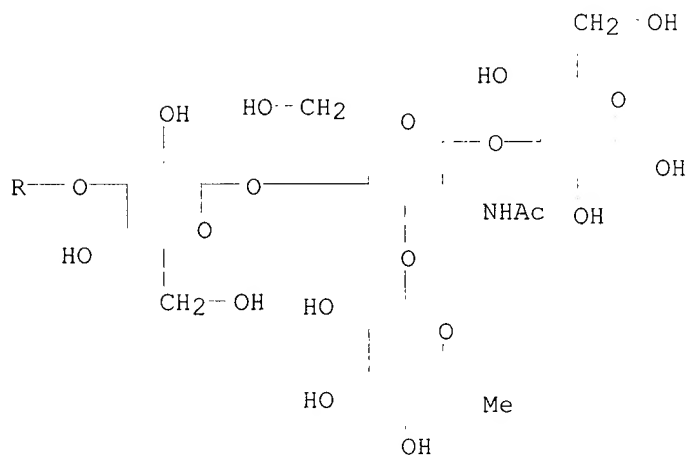
DN 111:131722
TI Mosaicism in the expression of tumor-associated carbohydrate antigens in human colonic and gastric cancers
AU Nakasaki, Hisao; Mitomi, Toshio; Noto, Takashi; Ogoshi, Kyoji; Hanaue, Hitoshi; Tanaka, Yutaka; Makuuchi, Hiroyasu; Clausen, Henrik; Hakomori, Senitiroh
CS Biomembr. Inst., Univ. Washington, Seattle, WA, 98119, USA
SO Cancer Research (1989), 49(13), 3662-9
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
CC 14-1 (Mammalian Pathological Biochemistry)
AB Serial sequential sections from a single tumor were examd. by immunohistol. staining with several monoclonal antibodies directed, resp., to different tumor-assocd. carbohydrate epitopes. Staining patterns were compared with those of conventional staining with hematoxylin-eosin or periodate/Schiff's reagent. Each tumor showed different areas of staining with different antibodies, and the combined staining map shows a clear mosaicism of antigen expression within the same tumor. For example, some areas of a given tumor were stained by FH4 (defining dimeric Lex), whereas other complementary areas were strongly stained, in a mutually exclusive manner, by SH1 (defining Lex), AH6 (defining Ley), FH6 (defining sialosyl dimeric Lex), or TKH2 (defining sialosyl-Tn). Some areas were stained by 2 or 3 of these antibodies. Comparisons of the mosaic-staining patterns with cytohistol. properties of tumor cells within specific areas suggested that the pattern of antigen expression is correlated with degree of differentiation, e.g., poorly differentiated cells with severe dysplasia did not express high levels of Lex or Ley or dimeric Lex; however, moderately or well-differentiated tumor cells in some areas expressed high levels of Lex or Ley but lower levels of sialyl-Lex. Areas showing strong expression of sialyl-Tn in their secretions were consistently correlated with presence of well-differentiated tumor cells, whereas secretions from normal mucosae were consistently characterized by lack of sialyl-Tn expression. Apparently, the original in situ tumors (which had homogeneous glycosylation patterns) evolved into several spatially discrete cell populations displaying different degrees of glycosylation, reflecting stages of tumor cell differentiation and progression.
ST carbohydrate antigen tumor stomach colon
IT Neoplasm, composition
 (carbohydrate antigens of, of colon and stomach of humans)
IT Stomach, neoplasm
 (carbohydrate antigens of, of humans)
IT Carbohydrates and Sugars, biological studies
RL: BIOL (Biological study)
 (of colonic and stomach neoplasm, of humans)
IT Blood-group substances
RL: BIOL (Biological study)
 (Lex, monomeric and dimeric, of colonic and stomach neoplasm, of humans)
IT Blood-group substances
RL: BIOL (Biological study)
 (Lex-i, sialyl, of colonic and stomach neoplasm, of humans)
IT Blood-group substances
RL: BIOL (Biological study)
 (Ley, of colonic and stomach neoplasm, of humans)
IT Blood-group substances
RL: BIOL (Biological study)
 (Tn, sialyl, of colonic and stomach neoplasm, of humans)
IT Intestine, neoplasm
 (colon, carbohydrate antigens of, of humans)
IT 104068-33-9 120885-84-9 120906-42-5 122630-82-4 122630-82-4D,
sialylated 122630-83-5
RL: BIOL (Biological study)

(of colonic and stomach neoplasm, of humans)
 IT **122630-83-5**
 RL: BIOL (Biological study)
 (of colonic and stomach neoplasm, of humans)
 RN 122630-83-5 HCAPLUS
 CN .beta.-D-Galactopyranose, O-6-deoxy-.alpha.-L-galactopyranosyl-
 (1.fwdarw.3)-O-[O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.3)-O-[O-6-
 deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-.beta.-D-galactopyranosyl-
 (1.fwdarw.4)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-
 (1.fwdarw.3)-.beta.-D-galactopyranosyl-(1.fwdarw.4)]-O-2-(acetylamino)-2-
 deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)- (9CI) (CA INDEX NAME)

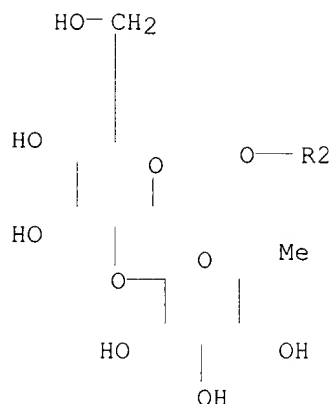
PAGE 1-A



PAGE 2-A



PAGE 3-A



=> fil uspatall

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CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:00:28 ON 07 DEC 2002

CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 114

L42 2 L14

=> d bib abs hitrn tot 142

L42 ANSWER 1 OF 2 USPATFULL

AN 2002:12519 USPATFULL

TI Colon cancer KH-1 and N3 antigens

IN Danishefsky, Samuel J., Englewood, NJ, UNITED STATES

Deshpande, Prashant P., Plaindome, NJ, UNITED STATES

Kim, In Jong, Seoul, KOREA, REPUBLIC OF

Livingston, Philip, New York, NY, UNITED STATES

Kim, Hyun Jin, New York, NY, UNITED STATES

Ragupathi, Govindaswami, New York, NY, UNITED STATES

Park, Tae Kyo, Taejon, KOREA, REPUBLIC OF

PI US 2002006900 A1 20020117

AI US 2001-833327 A1 20010412 (9)

RLI Division of Ser. No. US 1998-42280, filed on 13 Jan 1998, GRANTED, Pat.
No. US 6238668

PRAI US 1997-34950P 19970113 (60)

DT Utility

FS APPLICATION

LREP Choate, Hall & Stewart, Exchange Place, 53 State Street, Boston, MA,
02109

CLMN Number of Claims: 107

ECL Exemplary Claim: 1

DRWN 23 Drawing Page(s)

LN.CNT 2210

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides processes for the preparation of the KH-1 and N3 antigens, as well as related analogues thereof, which are useful as anticancer therapeutics. The present invention also provides various intermediates useful in the preparation of KH-1 and N3 and analogues thereof. Additionally, the invention provides various compositions

comprising any of the analogues of KH-1 and N3 available through the methods of the invention and pharmaceutical carriers useful in the treatment of subjects suffering from various forms of epithelial cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 202657-30-5P 202657-51-0P 210427-21-7P

(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 210427-20-6P

(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

L42 ANSWER 2 OF 2 USPATFULL

AN 2001:78695 USPATFULL

TI Colon cancer KH-1 and N3 antigens

IN Danishefsky, Samuel J., Englewood, NJ, United States

Deshpande, Prashant P., Plaindome, NJ, United States

Kim, In Jong, Seoul, Korea, Republic of

Livingston, Philip, New York, NY, United States

Kim, Hyun Jin, New York, NY, United States

Govindaswami, Ragupathi, New York, NY, United States

Park, Tae Kyo, Taejon, Korea, Republic of

PA Sloan-Kettering Institute for Cancer Research, New York, NY, United States (U.S. corporation)

PI US 6238668 B1 20010529

AI US 1998-42280 19980113 (9)

PRAI US 1997-34950P 19970113 (60)

DT Utility

FS Granted

EXNAM Primary Examiner: Ungar, Susan

LREP Choate, Hall & Stewart, Shair, Karoline K.M.

CLMN Number of Claims: 12

ECL Exemplary Claim: 1

DRWN 25 Drawing Figure(s); 23 Drawing Page(s)

LN.CNT 1863

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides processes for the preparation of the KH-1 and N3 antigens, as well as related analogues thereof, which are useful as anticancer therapeutics. The present invention also provides various intermediates useful in the preparation of KH-1 and N3 and analogues thereof. Additionally, the invention provides various compositions comprising any of the analogues of KH-1 and N3 available through the methods of the invention and pharmaceutical carriers useful in the treatment of subjects suffering from various forms of epithelial cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 202657-30-5P 202657-51-0P 210427-21-7P

(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

IT 210427-20-6P

(prepn. of acetamidodeoxy oligosaccharides as colon cancer KH-1 and N3 antigens)

-> fil marpat

FILE 'MARPAT' ENTERED AT 11:05:50 ON 07 DEC 2002

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FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 137 ISS 22) (20021129/ED)

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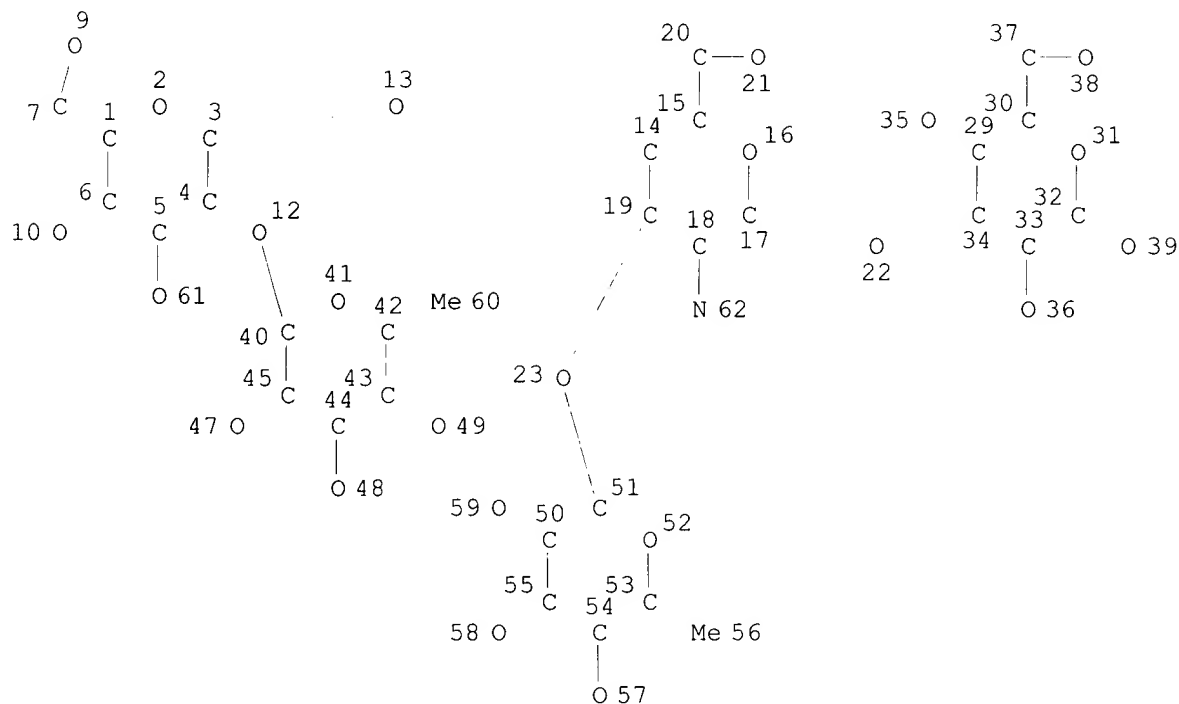
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6476216 05 NOV 2002
 DE 10147625 31 OCT 2002
 EP 1254650 06 NOV 2002
 JP 2002322158 08 NOV 2002
 WO 2002088151 07 NOV 2002

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> d sta que 146

L10 STR



Page 1-A

9

Page 1-B

NODE ATTRIBUTES:

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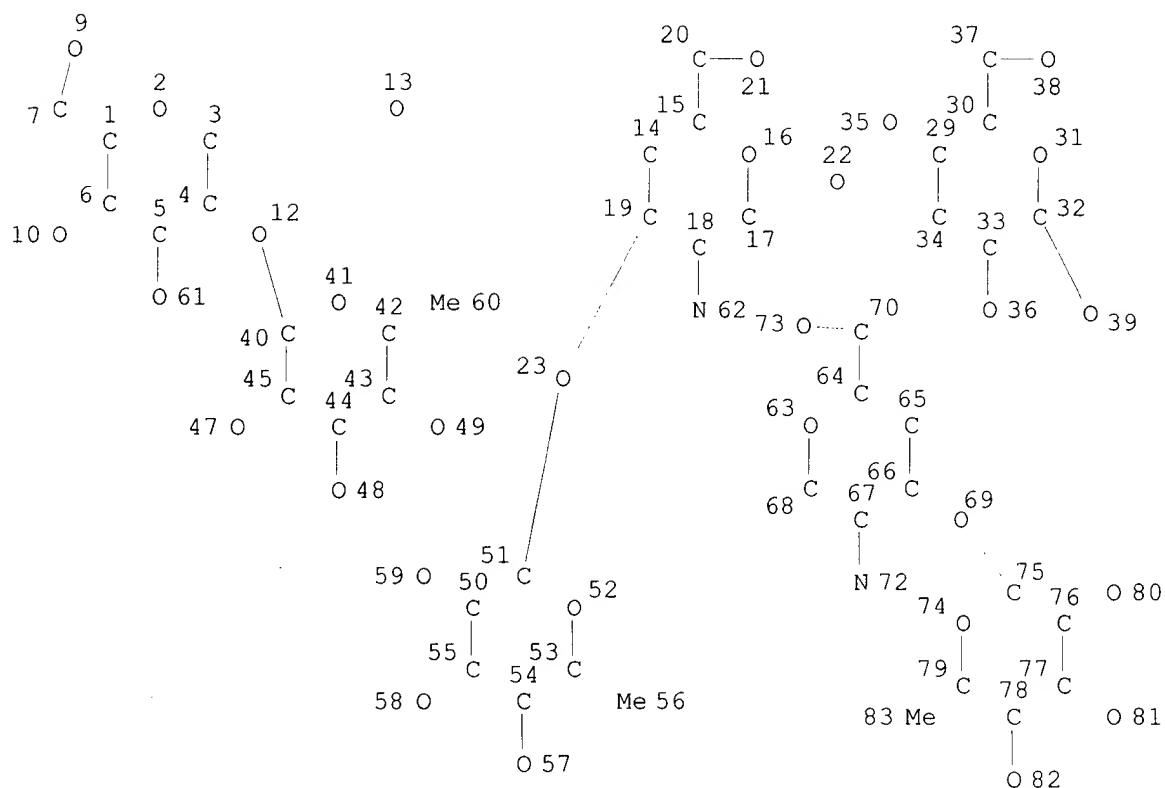
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STEREO ATTRIBUTES: NONE

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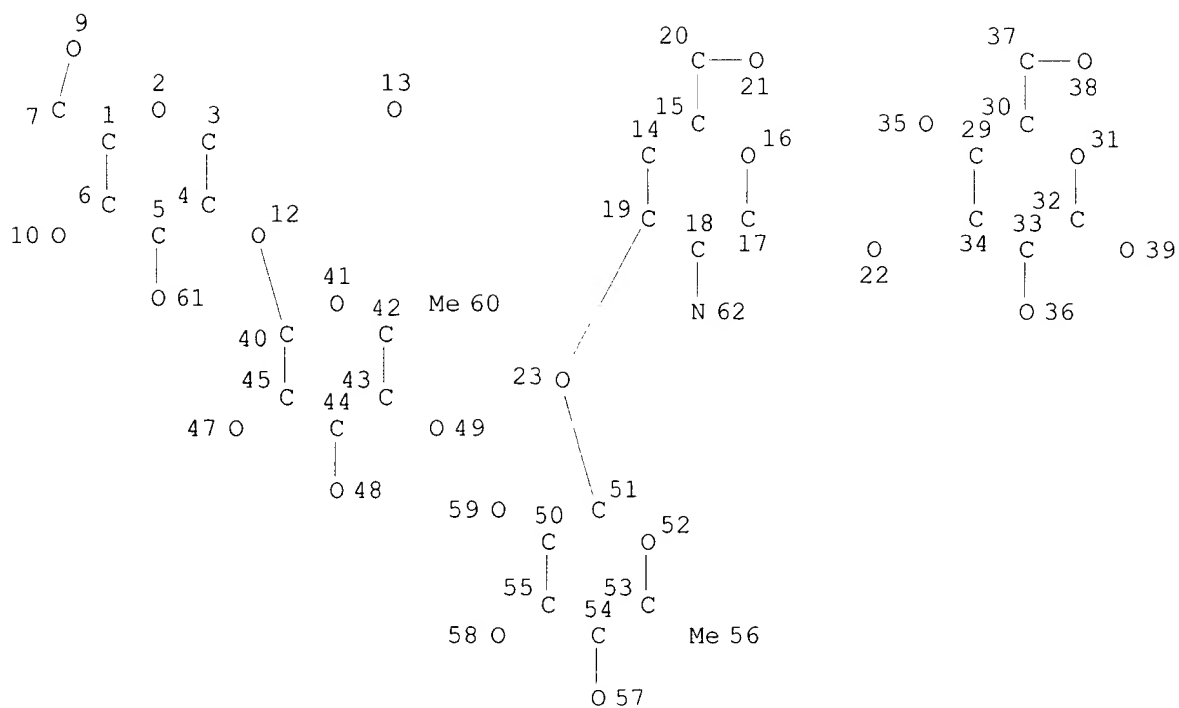
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 L46 1 SEA FILE=MARPAT SUB=L45 SSS FUL L13

100.0% PROCESSED 4 ITERATIONS
 SEARCH TIME: 00.00.04

1 ANSWERS

=> d sta que 147
 L10 STR



Page 1-A

9

Page 1-B

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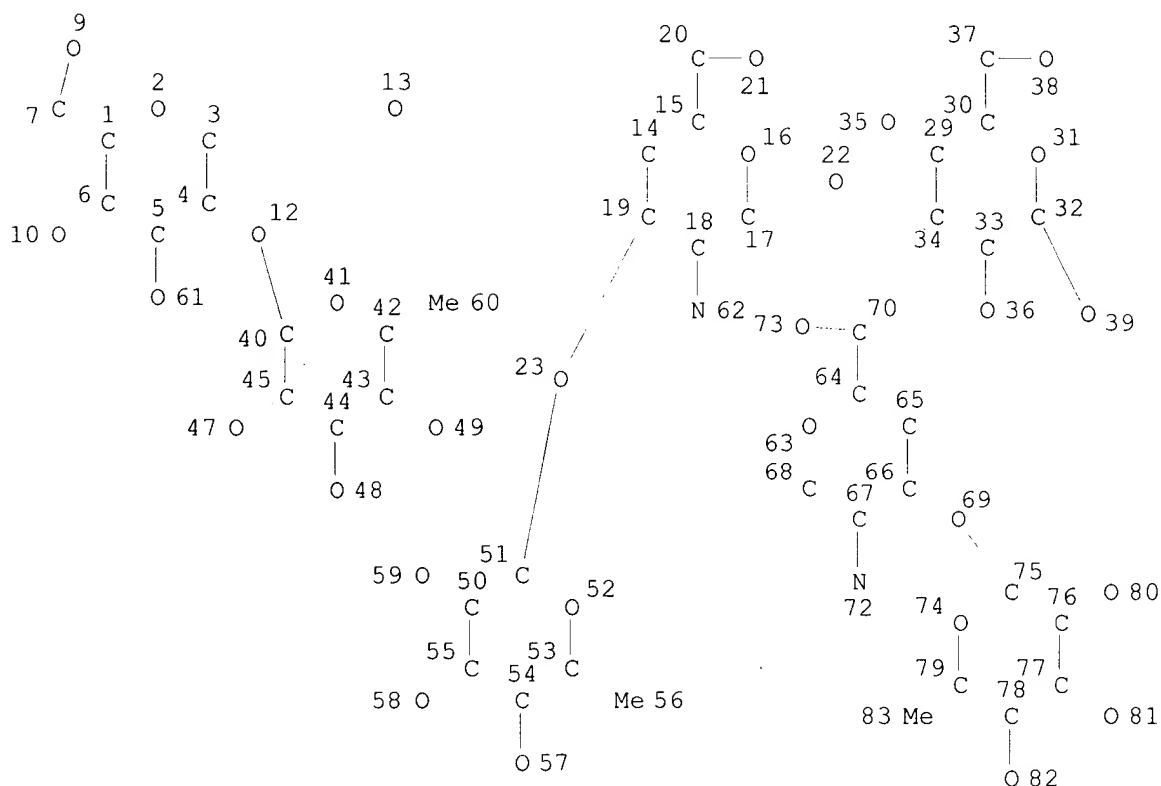
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NODE ATTRIBUTES:
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100.0% PROCESSED 1 ITERATIONS
 SEARCH TIME: 00.00.02

0 ANSWERS

=> d scan 146

L46 1 ANSWERS MARPAT COPYRIGHT 2002 ACS
 IC ICM C07H015-04
 ICS C07H015-08; A61K031-70; A61K047-48
 CC 33-3 (Carbohydrates)

Section cross-reference(s): 1

TI Preparation of fucosylated glycosides as inhibitors of bacterial adherence.

ST fucosylated glycoside prepn bacterial adherence inhibitor; helicobacter pylori adhesion inhibitor fucosylated glycoside; gastric mucosa helicobacter pylori adhesion inhibitor

IT Ulcer inhibitors
 (fucosylated glycosides as inhibitors of Helicobacter pylori adherence)

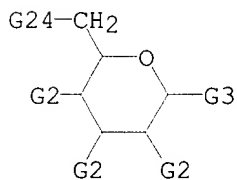
to gastric mucosa)

IT Campylobacter pyloridis
(prepn. of fucosylated glycosides as inhibitors of Helicobacter pylori adherence to gastric mucosa)

IT 97242-89-2P 125739-61-9DP, polyacrylamide conjugate 169151-24-0P
169151-25-1P 169151-26-2DP, bovine serum albumin conjugate
169151-27-3P 169151-28-4P 169151-29-5DP, human serum albumin conjugate
169151-30-8DP, human serum albumin conjugate 169151-31-9DP,
polyacrylamide conjugate 169151-32-0DP, polyacrylamide conjugate
169151-33-1DP, polyacrylamide conjugate 169151-63-7DP, polyacrylamide
conjugate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fucosylated glycosides as inhibitors of bacterial adherence)

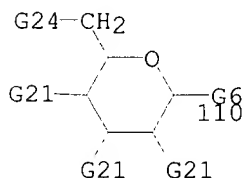
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814-68-6, Acryloyl chloride 1517-05-1, 2-Azidoethanol 3068-32-4,
Acetobromogalactose 6338-55-2 99409-26-4 99409-32-2 99409-33-3
99409-34-4 110089-18-4 117252-99-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of fucosylated glycosides as inhibitors of bacterial adherence)

IT 125739-61-9P 130539-43-4P 131545-03-4P 131545-04-5P 131566-40-0P
132932-06-0P 162466-43-5P 169151-31-9P 169151-32-0P 169151-34-2P
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(Reactant or reagent)
(prepn. of fucosylated glycosides as inhibitors of bacterial adherence)

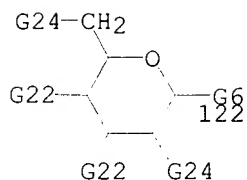
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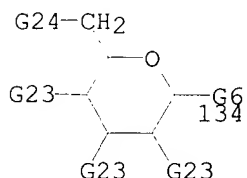
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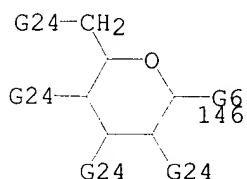
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G21 = OH (SO) / 122



G22 = 134



G23 = OH (SO) / 146



G24 = OH (SO) / NHCOMe

MPL: claim 1

NTE: substitution is restricted

ALL ANSWERS HAVE BEEN SCANNED

=> d bib 146

L46 ANSWER 1 OF 1 MARPAT COPYRIGHT 2002 ACS

AN 123:286509 MARPAT

TI Preparation of fucosylated glycosides as inhibitors of bacterial adherence.

IN Eklind, Karin Ingeborg; Loenn, Hans Roland; Tiden, Anna-Karin Ulla Edit

PA Astra AB, Swed.

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9500527	A1	19950105	WO 1994-SE604	19940617
	W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
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	AU 9470891	A1	19950117	AU 1994-70891	19940617
	EP 706528	A1	19960417	EP 1994-919945	19940617
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	JP 08512026	T2	19961217	JP 1994-502720	19940617

LT 3446 B 19951025 LT 1994-1978 19940627
 PRAI DK 1993-761 19930625
 WO 1994-SE604 19940617

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 11:06:12 ON 07 DEC 2002

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FILE COVERS 1907 - 7 Dec 2002 VOL 137 ISS 24

FILE LAST UPDATED: 6 Dec 2002 (20021206/ED)

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L48 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS

AN 1995:861145 HCAPLUS

DN 123:286509

TI Preparation of fucosylated glycosides as inhibitors of bacterial adherence.

IN Eklind, Karin Ingeborg; Loenn, Hans Roland; Tiden, Anna-Karin Ulla Edit

PA Astra AB, Swed.

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07H015-04

ICS C07H015-08; A61K031-70; A61K047-48

CC 33-3 (Carbohydrates)

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9500527	A1	19950105	WO 1994-SE604	19940617
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
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	LT 3446	B	19951025	LT 1994-1978	19940627
PRAI	DK 1993-761		19930625		
	WO 1994-SE604		19940617		
OS	MARPAT 123:286509				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Guanidinyll Y-Z1-R, A-Z2-R, A-Z3-B-Z4-R, A-Z5-B-Z6-C-Z7-R, A-Z8-B-Z9-C-Z10-D-Z11-R, A-Z12-B-Z13-C-Z14-D-Z15-E-Z16-R [Z1-Z16 = O, S, CH2, NR25; R25 = H, alkyl, alkenyl, alkylcarbonyl, (substituted) PhCO; A = Q1; B = Q2; C = Q3; D = Q4; E = Q5; Y = Q6; R = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, alkylcarbonyl, alkenylcarbonyl, (substituted) cycloalkylalkylcarbonyl, arylcarbonyl, etc.; R1-R3 = H, halo, N3, guanidinyll, alkyl, alkenyl, alkynyl, (substituted) aryl, alkoxyalkyl, etc.; R1A-R4E = R1, YZ1; with provisos], were prepd for therapy or prophylaxis in conditions involving infection by *Helicobacter pylori* of human gastric mucosa. Thus, Et 3-O-(tri-O-benzyl-.alpha.-L-fucopyranosyl)-4,6-O-benzylidene-2-deoxy-2-phthalimido-1-thio-.beta.-D-glucopyranoside was stirred with N-iodosuccinimide, mol. sieves, and CF3CO2H in CH2Cl2/Et2O to give 97% Me 4,6-O-benzylidene-3-O-(tri-O-benzyl-.alpha.-fucopyranosyl)-2-deoxy-2-phthalimido-.beta.-D-glucopyranoside. This was refluxed 20 h with N2H4 in aq. EtOH followed by acetylation of the crude product to give Me 2-acetamido-3-O-(2,3,4-tri-O-benzyl-.alpha.-L-fucopyranosyl)-4,6-O-benzylidene-2-deoxy-.beta.-D-glucopyranoside. The latter was hydrogenolyzed at 200 kPa over Pd/C in AcOH/EtOAc/H2O to give 90% Me 2-acetamido-2-deoxy-3-O-.alpha.-L-fucopyranosyl-D-glucopyranoside. Title compds. gave 34-93% inhibition of binding of *Helicobacter pylori* to human gastric tissue. Use of title compds. with various antibiotics, antacids, gastric secretion inhibitors, antigastritis drugs, and antiulcer drugs, is claimed.

ST fucosylated glycoside prepn bacterial adherence inhibitor; *Helicobacter pylori* adhesion inhibitor fucosylated glycoside; gastric mucosa *Helicobacter pylori* adhesion inhibitor

IT Ulcer inhibitors
(fucosylated glycosides as inhibitors of *Helicobacter pylori* adherence to gastric mucosa)

IT Campylobacter pyloridis
(prepn. of fucosylated glycosides as inhibitors of *Helicobacter pylori* adherence to gastric mucosa)

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169151-25-1P 169151-26-2DP, bovine serum albumin conjugate
169151-27-3P 169151-28-4P 169151-29-5DP, human serum albumin conjugate
169151-30-8DP, human serum albumin conjugate 169151-31-9DP,
polyacrylamide conjugate 169151-32-0DP, polyacrylamide conjugate
169151-33-1DP, polyacrylamide conjugate 169151-63-7DP, polyacrylamide
conjugate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
~~(prepn. of fucosylated glycosides as inhibitors of bacterial adherence)~~

IT 79-06-1, Acrylamide, reactions 463-71-8, Thiophosgene 624-95-3
814-68-6, Acryloyl chloride 1517-05-1, 2-Azidoethanol 3068-32-4,
Acetobromogalactose 6338-55-2 99409-26-4 99409-32-2 99409-33-3
99409-34-4 110089-18-4 117252-99-0
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IT 125739-61-9P 130539-43-4P 131545-03-4P 131545-04-5P 131566-40-0P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of fucosylated glycosides as inhibitors of bacterial adherence)

=> d his

(FILE 'HOME' ENTERED AT 10:23:14 ON 07 DEC 2002)
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L4	1 S L1-L3
	SEL RN

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L8	11 S L7 NOT (SI OR S)/ELS
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L13	STR L10
L14	11 S L13 FUL SUB=L12
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L18	4 S L5 AND L12

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	E DANISHEFSKY S/AU
L22	604 S E3-E6
	E DESHPANDE P/AU
L23	22 S E3, E12, E30-E32
	E KIM I/AU
L24	108 S E3, E13
	E KIM IN/AU
L25	54 S E3, E46, E52
	E KIM INJONG/AU
L26	1 S E4

L27 E LIVINGSTON P/AU
113 S E3-E6,E12-E16
E KIM H/AU
L28 1382 S E3,E19-E22
E KIM HYUN/AU
L29 529 S E3,E48-E67
E KIM HUYNJIN/AU
E RAGUPATHI G/AU
L30 54 S E3-E6
E PARK T/AU
L31 21 S E3,E11
E PARK TAE/AU
L32 35 S E3,E42,E43
E KIM HYUN/AU
L33 68 S E3
L34 91 S E48,E58
E KIM HYUNJIN/AU
L35 11 S E3,E4,E5
E HYUN J/AU
L36 16 S E3,E6
L37 1 S E42
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L39 5 S L21 AND (SLOAN? OR KETTER?)/PA,CS
L40 9 S L21,L38,L39

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L41 0 S L16

FILE 'REGISTRY' ENTERED AT 10:59:02 ON 07 DEC 2002

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 EDIT /AN /DN

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L48 1 S E1

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